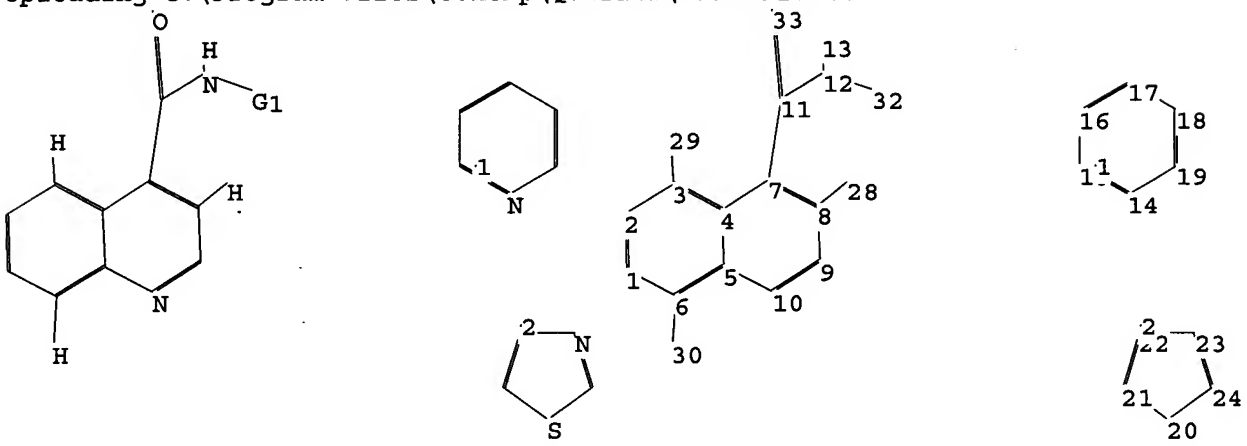
~~10/536,475~~ 10/534651

* * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:29:06 ON 09 MAR 2006

=> file reg

=>
Uploading C:\Program Files\Stnexp\Queries\2534651.str



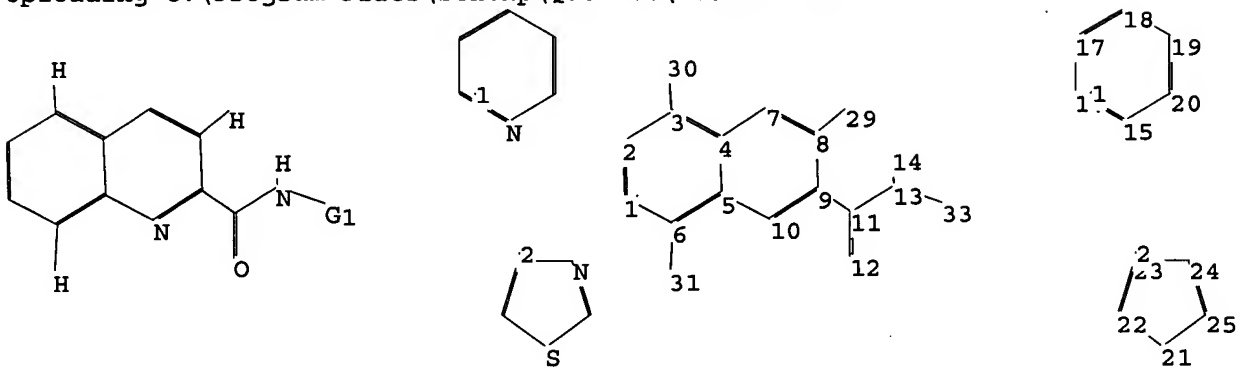
chain nodes :
11 12 13 28 29 30 32 33
ring nodes :
1 2 3 4 5 6 7 8 9 10 14 15 16 17 18 19 20 21 22 23 24
chain bonds :
3-29 6-30 7-11 8-28 11-12 11-33 12-13 12-32
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 14-15 14-19 15-16 16-17 17-18 18-19 20-21 20-24 21-22 22-23 23-24
exact/norm bonds :
11-12 11-33 12-32 22-23 23-24
exact bonds :
3-29 6-30 7-11 8-28 12-13 20-21 20-24 21-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 14-15 14-19 15-16 16-17 17-18 18-19
isolated ring systems :
containing 1 : 14 : 20 :

G1:[*1],[*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 28:CLASS 29:CLASS 30:CLASS 32:CLASS 33:CLASS

L1 STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\1534651.str



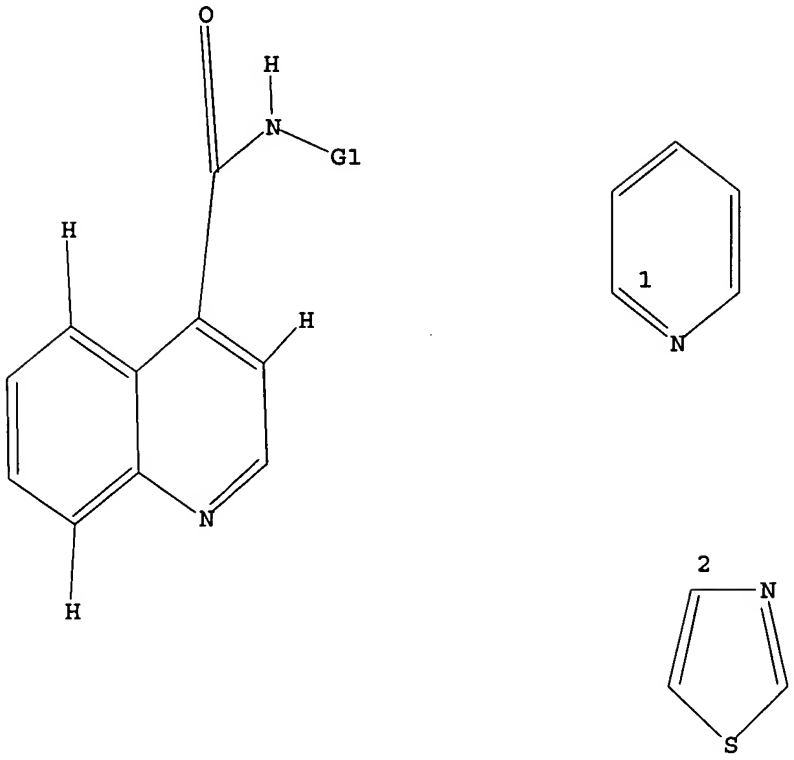
chain nodes :
11 12 13 14 29 30 31 33
ring nodes :
1 2 3 4 5 6 7 8 9 10 15 16 17 18 19 20 21 22 23 24 25
chain bonds :
3-30 6-31 8-29 9-11 11-12 11-13 13-14 13-33
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20 21-22 21-25 22-23 23-24 24-25
exact/norm bonds :
11-12 11-13 13-33 23-24 24-25
exact bonds :
3-30 6-31 8-29 9-11 13-14 21-22 21-25 22-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 : 15 : 21 :

G1:[*1],[*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 29:CLASS 30:CLASS 31:CLASS 33:CLASS

L2 STRUCTURE UPLOADED

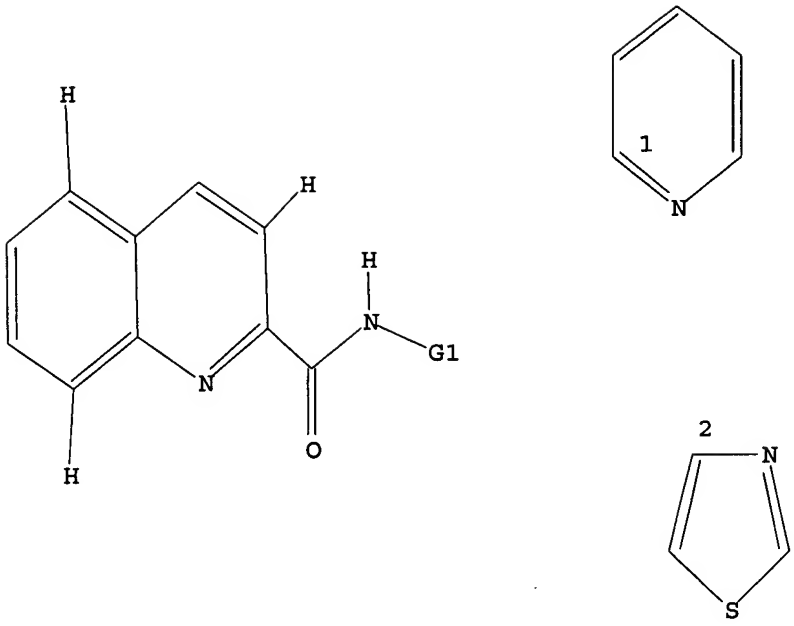
=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

=> d l2
L2 HAS NO ANSWERS
L2 STR



G1 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 full
L5          249 SEA SSS FUL L1

=> s l2 full
L6           12 SEA SSS FUL L2

=> file ca

=> s l5 or l6
             4 L5
             5 L6
L7           8 L5 OR L6

=> d ibib abs fhitstr 1-8
```

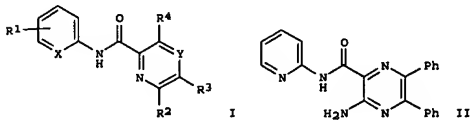
L7 ANSWER 1 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:266952 CA
TITLE: Preparation of bipyridyl amides as modulators of metabotropic glutamate receptor-5
INVENTOR(S): Bonnefous, Celine; Kamenecka, Theodore M.; Vernier, Jean-Michel
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005079802	A1	20050901	WO 2005-US3952	20050209

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

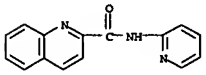
PRIORITY APPLN. INFO.: US 2004-544627P P 20040212

OTHER SOURCE(S): MARPAT 143:266952
GI



AB The title compds. I (X = N, C; Y = N, C, C(halo); R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, aryl, etc.; R3 = aryl, halo, alkyl, etc.; R2 and R3 may be joined together with the atoms to which they are attached to form a (un)saturated 4-7 membered ring containing 0-2 heteroatoms selected from O, S and N; R4 = aryl, heteroaryl, halo, etc.] which are mGluR5 modulators useful in the treatment or prevention of diseases and conditions in which

L7 ANSWER 1 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)
mGluR5 is involved, including but not limited to psychiatric and mood disorders such as schizophrenia, anxiety, depression, bipolar disorders, and panic, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm and sleep disorders, such as shift-work induced sleep disorder and jet-lag, drug addiction, drug abuse, drug withdrawal, obesity and other diseases, were prepd. Thus, amidation of pyridin-2-amine with 3-amino-5,6-diphenylpyrazine-2-carboxylic acid afforded the amide II. The exemplified compds. I have mGluR5 inhibitory activity as shown by inhibition at 10 μM or less in the calcium flux assay or 100 μM or less or less in the PI assay. The invention is also directed to pharmaceutical compns. comprising compds. I.
IT 300574-94-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bipyridyl amides as modulators of metabotropic glutamate receptor-5)
RN 300574-94-1 CA
CN 2-Quinolinecarboxamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:7040 CA
TITLE: Preparation of quinoline derivatives as glucokinase inhibitors
INVENTOR(S): Hargreaves, Rodney Brian; Davies, Christopher Daniel
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004045614	A1	20040603	WO 2003-GB4915	20031113

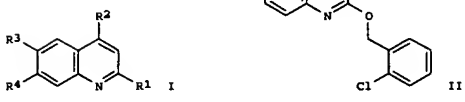
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003282233	A1	20040615	AU 2003-282233	20031113
EP 1583532	A1	20051012	EP 2003-773851	20031113

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

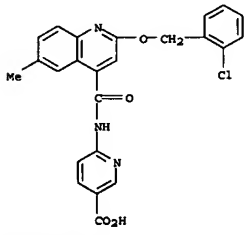
PRIORITY APPLN. INFO.: GB 2002-26931 A 20021119
WO 2003-GB4915 W 20031113

OTHER SOURCE(S): MARPAT 141:7040
GI



L7 ANSWER 2 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)

AB The title compds. I [wherein R1 and R2 = independently H, alkyl, alkoxy, carbocyclyl(oxy), heterocyclyl(oxy), or substituted carbamoyl; R3 and R4 = independently H, alkyl, alkoxy, carbocyclyl(oxy), or heterocyclyl(oxy)] or salts, solvates, or prodrugs thereof are prepared as glucokinase inhibitors. For example, the compound II was prepared in a multi-step synthesis. I are useful for the treatment or prevention of a disease or medical conditions mediated through glucokinase (no data). Formulations containing I as an active ingredient were also described.
IT 697236-11-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline derivs. as glucokinase inhibitors)
RN 697236-11-6 CA
CN 3-Pyridinecarboxylic acid, 6-[[[2-[(2-chlorophenyl)methoxy]-6-methyl-4-quinolinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

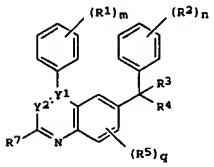


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

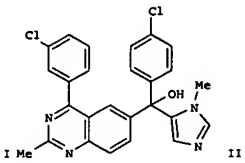
L7 ANSWER 3 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:279469 CA
TITLE: Preparation of quinoline and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Pilatte, Isabelle Noelle Constance
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024682	A1	20020328	WO 2001-EP10867	20010918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1322635	A1	20030702	EP 2001-974271	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509883	T2	20040402	JP 2002-529092	20010918
AU 2001093826	A5	20020402	AU 2001-93826	20020402
US 2003203904	A1	20031030	US 2003-381363	20030324
PRIORITY APPLN. INFO.:			EP 2000-203365 A	20000925
			WO 2001-EP10867 W	20010918

OTHER SOURCE(S): MARPAT 136:279469
GI



I

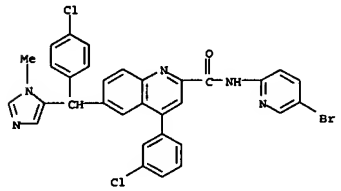


II

L7 ANSWER 3 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. I [wherein m and n = independently 0-5; q = 0-3; Y1Y2 = C:N or C:CR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxycarbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 and R2= independently azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or R1R2 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R7 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepared For example, N-[2-(3-chlorobenzoyl)-4-(4-chlorobenzoyl)phenyl]acetamide was cyclized with NH3 in i-PrOH to give (4-chlorophenyl){4-(3-chlorophenyl)-2-methyl-6-quinazolinyl}methanone (36%). Addition of 1-methyl-1H-imidazole in the presence of BuLi and SiEt3Cl in THF afforded II (40%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).
IT 405549-65-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(farnesyl transferase inhibitor; preparation of quinoline and quinazoline derivs. as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases)
RN 405549-65-7 CA
CN 2-Quinolinecarboxamide, N-(5-bromo-2-pyridinyl)-4-(3-chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:178473 CA
TITLE: Preparation process of quinoline compounds as cGMP-specific phosphodiesterase inhibitors
INVENTOR(S): Umeda, Nobuhiro; Ito, Kunihito; Uchida, Seiichi; Shiinoki, Yasuyuki
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

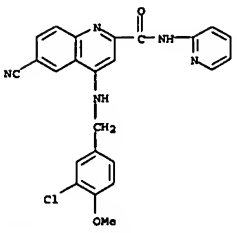
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012608	A1	20010222	WO 2000-JP5497	20000817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 1999-231347 A	19990818

OTHER SOURCE(S): MARPAT 134:178473
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

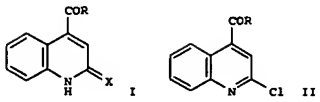
AB Novel quinoline compds. [I; R1 represents nitro, cyano, halogeno, etc.; n is 0 or an integer from 1 to 4; R2 and R3 represent hydrogene, etc.; R4 represents hydrogen, C1-6 alkyl, optionally substituted Ph, an optionally substituted saturated or unsatd. heterocycle, etc.; and R5 represents an optionally substituted saturated or unsatd. heterocycle bonded to the quinoline ring via a carbon atom in the cycle] and pharmaceutically acceptable salts are prepared and are useful as cGMP-specific phosphodiesterase (PDE) inhibitors . Thus, the title compound II was prepared and tested.
IT 326796-60-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation process of quinoline compds. as cGMP-specific phosphodiesterase inhibitors)
RN 326796-60-5 CA
CN 2-Quinolinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-N-2-pyridinyl- (9CI) (CA INDEX NAME)

L7 ANSWER 4 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)



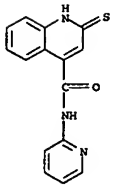
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

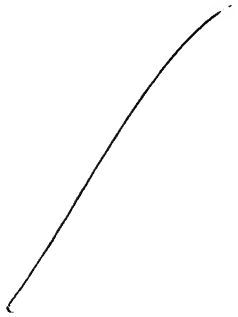
L7 ANSWER 5 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:192531 CA
TITLE: Synthesis and antiinflammatory and analgesic activity of substituted 1,2-dihydro-2-oxo- and -2-thioxocinchoninic amides
AUTHOR(S): Mikhalev, A. I.; Kon'shin, M. E.; Kon'shina, T. M.; Zueva, M. V.; Zaks, A. S.
CORPORATE SOURCE: Farm. Med. Akad., Perm, Russia
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1997), 31(3), 37-38
CODEN: KHFZAN; ISSN: 0023-1134
PUBLISHER: Izdatel'stvo Folium
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



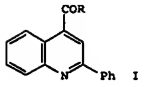
AB Title compds. I (X = O, R = 2-pyridinylamino, piperidino, disubstituted anilino, cyclohexylamino, 4-antipyryl; X = S, R = 2-pyridinylamino, piperidino, 2,4-dichloroanilino, cyclohexylamino) were prepared from chloroquinolinecarboxamides II (same R). I (X = O, R = above amino groups) were also obtained from I (X = O, R = OH). I (X = O) showed analgesic activity comparable to that of orthofen, but the antiinflammatory activity of I (X = O, S) was generally lower.
IT 203506-62-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiinflammatory activity of)
RN 203506-62-1 CA
CN 4-Quinolinecarboxamide, 1,2-dihydro-N-2-pyridinyl-2-thioxo- (9CI) (CA INDEX NAME)

L7 ANSWER 5 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)

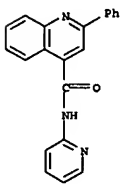


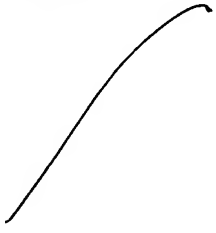


L7 ANSWER 6 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 100:6298 CA
TITLE: Cinchophen analogs as potential CNS agents
AUTHOR(S): Kar, A.
CORPORATE SOURCE: Dep. Pharm. Chem., Univ. Nigeria, Nsukka, Nigeria
SOURCE: Journal of Pharmaceutical Sciences (1983), 72(9), 1082-4
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

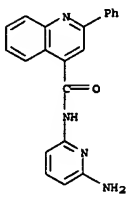


AB Several amides of cinchophen e.g. I [R = 2-aminopyrimidino (II) 2-ethyl-6-sec-butylanilino (III), piperidino (IV), p-MeC6H4NH, (V), p-MeOC6H4NH (VI)] were prepared by amination of I (R = Cl). II-VI possessed analgesic activity while II and VI acted as central nervous system depressants.
IT 88067-65-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 88067-65-6 CA
CN 4-Quinolinecarboxamide, 2-phenyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

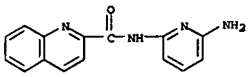




L7 ANSWER 7 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 79:53215 CA
TITLE: Reaction of 2-phenyl-4-quinolinecarboxylic acid with some aromatic and heterocyclic amines
AUTHOR(S): Dzadzic, Petra M.; Piletic, Miroslav V.; Bastic, Borivoje
CORPORATE SOURCE: Fac. Technol. Novi Sad, Novi Sad, Yugoslavia
SOURCE: Glasnik Hemijskog Drustva Beograd (1972), 37(5-6), 257-61
CODEN: GHDBAX; ISSN: 0017-0941
DOCUMENT TYPE: Journal
LANGUAGE: Serbian
GI For diagram(s), see printed CA Issue.
AB Condensation of 2-phenyl-4-quinoline-carboxylic acid and amines, e.g. (o-aminophenol, o-aminothiophenol, o-phenylenediamine, 1,8-diaminonaphthalene, 1,2-diaminonaphthalene, 2,6-diaminopyridine, and 3,4-diaminopyridine) gave 15-91% yields of the products I-VII. Their structures were confirmed by elemental analysis and ir spectroscopy.
IT **42039-65-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 42039-65-6 CA
CN 4-Quinolinecarboxamide, N-(6-amino-2-pyridinyl)-2-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 8 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 78:16096 CA
TITLE: Reaction between 2-quinolinecarboxylic acid and some aromatic and heterocyclic amines
AUTHOR(S): Dzadzic, Petar M.; Bastic, Borivoje L.; Piletic, Miroslav V.
CORPORATE SOURCE: Fac. Technol., Novi Sad, Yugoslavia
SOURCE: Glasnik Hemijskog Drustva Beograd (1971), 36(3-4), 137-42
CODEN: GHDBAX; ISSN: 0017-0941
DOCUMENT TYPE: Journal
LANGUAGE: Serbian
GI For diagram(s), see printed CA Issue.
AB The condensation reaction between 2-quinolinecarboxylic acid and some amines (o-aminophenol, o-aminothiophenol, o-phenylenediamine, 1,8-diaminonaphthalene, 1,2-diaminonaphthalene, 2,6-diaminopyridine, and 3,4-diaminopyridine) was investigated and the products e.g., I-III isolated. Their structures were confirmed by elemental analysis and by ir spectroscopy.
IT **39200-00-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 39200-00-5 CA
CN 2-Quinolinecarboxamide, N-(6-amino-2-pyridinyl)- (9CI) (CA INDEX NAME)



=> file marpat

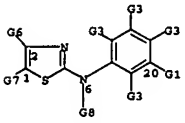
L10 ANSWER 1 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 144:17212 MARPAT
TITLE: Use of c-kit inhibitors for treating fibrodysplasia
INVENTOR(S): Moussy, Alain; Kinet, Jean-Pierre
PATENT ASSIGNEE(S): Ab Science, Fr.
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005115304	A2	20051208	WO 2005-IB1371	20050419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-573345P 20040524
AB The invention discloses a method for treating fibrodysplasia, e.g. fibrodysplasia ossificans, comprising administering a compound capable of depleting mast cells or a compound inhibiting mast cell degranulation, to a human in need of such treatment. Such compds. can be chosen from c-kit inhibitors and more particularly non-toxic, selective and potent c-kit inhibitors. Preferably, the inhibitor is unable to promote death of IL-3 dependent cells cultured in presence of IL-3.

MSTR 1



G6 = 9 / 94

G9—G11 G25—G17
9 10 94 95

L10 ANSWER 1 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G7 = 11 / 150 / G17

G10—G11 G26—G17
11 12 150 151

G9 = 62-10 63-2 / 64-10 65-2

G23—G13 G13—G23
62 63 64 65

G10 = 134-12 135-1 / 136-12 137-1

G23—G13 G13—G23
134 135 136 137

G13 = NH
G17 = quinolinyl
G23 = C(O)
G25 = 107-95 108-2 / 109-95 110-2

G23—G13 G13—G23
107 108 109 110

G26 = 163-151 164-1 / 165-151 166-1

G23—G13 G13—G23
163 164 165 166

Patent location: claim 5
Note: also incorporates claim 6
Note: additional substitution also claimed

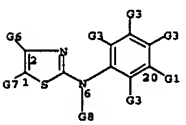
L10 ANSWER 2 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 144:17211 MARPAT
TITLE: Use of c-kit inhibitors for treating acne
INVENTOR(S): Moussy, Alain; Kinet, Jean-Pierre
PATENT ASSIGNEE(S): Ab Science, Fr.
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005115385	A1	20051208	WO 2005-IB1366	20050419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-573351P 20040524
AB The invention discloses a method for treating acne and Propionibacterium acnes-associated diseases, comprising administering a compound capable of depleting mast cells or a compound inhibiting mast cell degranulation, to a human in need of such treatment. Such compds. can be chosen from c-kit inhibitors and more particularly non-toxic, selective and potent c-kit inhibitors. Preferably, the inhibitor is unable to promote death of IL-3 dependent cells cultured in presence of IL-3.

MSTR 1



G6 = 9 / 94

G9—G11 G25—G17
9 10 94 95

G7 = 11 / 150 / G17

L10 ANSWER 2 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G10—G11 G26—G17
11 12 150 151

G9 = 62-10 63-2 / 64-10 65-2

G23—G13 G13—G23
62 63 64 65

G10 = 134-12 135-1 / 136-12 137-1

G23—G13 G13—G23
134 135 136 137

G13 = NH
G17 = quinolinyl
G23 = C(O)
G25 = 107-95 108-2 / 109-95 110-2

G23—G13 G13—G23
107 108 109 110

G26 = 163-151 164-1 / 165-151 166-1

G23—G13 G13—G23
163 164 165 166

Patent location: claim 5
Note: also incorporates claim 6
Note: additional substitution also claimed

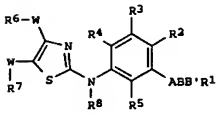
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 144:17136 MARPAT
TITLE: Use of mast cells inhibitors for treating patients exposed to chemical or biological weapons
INVENTOR(S): Moussy, Alain; Kinet, Jean-Pierre
PATENT ASSIGNEE(S): Ab Science, Fr.
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005112920	A1	20051201	WO 2005-IB1459	20050419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

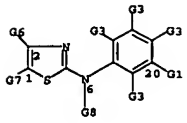
PRIORITY APPLN. INFO.: US 2004-847363 20040518
GI



I

AB The present invention relates to a method for treating patients exposed to chemical or biol. weapons comprising administering a compound capable of depleting mast cells or a compound inhibiting mast cells degranulation, to a human in need of such treatment. Such compds. can be chosen from c-kit inhibitors I (where R6= H, halogen, Ph, etc., R7 = H,halogen,phenyl,etc., R8 = H, alkyl, etc., R2, R3,R4 and R5 each independently = H, halogen, O, N, etc., A = CH2, O,S, SO2,etc., B = NH, NCH3, etc., R* = alkyl1, aryl1, heteroaryl1, etc.,W = a bond or a linker selected from NH, NHCO, NHCOO, etc., R = alkyl1,aryl1 or heteroaryl1, etc.) and more particularly non-toxic, selective and potent c-kit inhibitors. Preferably, said inhibitor is unable to promote death of IL-3 dependent cells cultured in presence of IL-3.

MSTR 1



G6 = 9 / 94

G9—G11 9 10 G25—G17 94 95

G7 = 11 / 150 / G17

G10—G11 11 12 G26—G17 150 151

G9 = 62-10 63-2 / 64-10 65-2

G23—G13 62 63 G13—G23 64 65

G10 = 134-12 135-1 / 136-12 137-1

G23—G13 134 135 G13—G23 136 137

G13 = NH
G17 = quinolinyl
G23 = C(O)
G25 = 107-95 108-2 / 109-95 110-2

G23—G13 107 108 G13—G23 109 110

G26 = 163-151 164-1 / 165-151 166-1

G23—G13 163 164 G13—G23 165 166

Patent location: claim 5

L10 ANSWER 3 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Note: also incorporates claim 6
Note: additional substitution also claimed

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

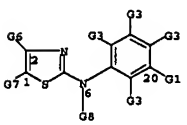
L10 ANSWER 4 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:432692 MARPAT
TITLE: Use of c-kit inhibitors for treating fibrosis
INVENTOR(S): Moussy, Alain; Kinet, Jean-Pierre
PATENT ASSIGNEE(S): AB Science, Fr.
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005102346	A2	20051103	WO 2005-IB1391	20050419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-564569P 20040423
AB The invention discloses a method for treating fibrosis and related disorders, comprising administering a compound capable of depleting mast cells or a compound inhibiting mast cell degranulation, to a human in need of such treatment. Such compds. can be chosen from c-kit inhibitors and more particularly nontoxic, selective and potent c-kit inhibitors. Preferably, the inhibitor is unable to promote death of IL-3-dependent cells cultured in presence of IL-3.

MSTR 1



G6 = 9 / 94

G9—G11 9 10 G25—G17 94 95

G7 = 11 / 150 / G17

L10 ANSWER 4 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G10—G11 G26—G17
11 12 150 151

G9 = 62-10 63-2 / 64-10 65-2

G23—G13 G13—G23
62 63 64 65

G10 = 134-12 135-1 / 136-12 137-1

G23—G13 G13—G23
134 135 136 137

G13 = NH
G17 = quinolinyl
G23 = C(O)
G25 = 107-95 108-2 / 109-95 110-2

G23—G13 G13—G23
107 108 109 110

G26 = 163-151 164-1 / 165-151 166-1

G23—G13 G13—G23
163 164 165 166

Patent location: claim 5
Note: also incorporates claim 6
Note: additional substitution also claimed

L10 ANSWER 5 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G10—G11 G26—G17
11 12 150 151

G9 = 62-10 63-2 / 64-10 65-2

G23—G13 G13—G23
62 63 64 65

G10 = 134-12 135-1 / 136-12 137-1

G23—G13 G13—G23
134 135 136 137

G13 = NH
G17 = quinolinyl
G23 = C(O)
G25 = 107-95 108-2 / 109-95 110-2

G23—G13 G13—G23
107 108 109 110

G26 = 163-151 164-1 / 165-151 166-1

G23—G13 G13—G23
163 164 165 166

Patent location: claim 5
Note: also incorporates claim 6
Note: additional substitution also claimed

L10 ANSWER 5 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:432657 MARPAT
TITLE: Use of c-kit inhibitors for treating renal diseases
INVENTOR(S): Moussy, Alain; Kinet, Jean-Pierre
PATENT ASSIGNEE(S): AB Science, Fr.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

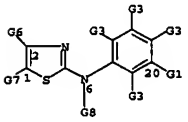
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005102326	A2	20051103	WO 2005-IB1370	20050419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-564586P 20040423

AB The invention discloses a method for treating renal diseases, comprising administering a compound capable of depleting mast cells or a compound inhibiting mast cell degranulation, to a human in need of such treatment. Such compds. can be chosen from c-kit inhibitors and more particularly nontoxic, selective and potent c-kit inhibitors. Preferably, the inhibitor is unable to promote death of IL-3-dependent cells cultured in presence of IL-3.

MSTR 1



G6 = 9 / 94

G9—G11 G25—G17
9 10 94 95

G7 = 11 / 150 / G17

L10 ANSWER 6 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:432650 MARPAT
TITLE: Use of c-kit inhibitors for treating inflammatory muscle disorders including myositis and muscular dystrophy
INVENTOR(S): Moussy, Alain; Kinet, Jean-Pierre
PATENT ASSIGNEE(S): AB Science, Fr.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

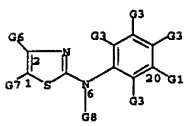
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005102325	A1	20051103	WO 2005-IB1367	20050419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-563460P 20040420

AB The invention discloses a method for treating inflammatory muscle disorders including myositis and muscular dystrophy, comprising administering a compound capable of depleting mast cells or a compound inhibiting mast cell degranulation, to a human in need of such treatment. Such compds. can be chosen from c-kit inhibitors and more particularly nontoxic, selective and potent c-kit inhibitors. Preferably, the inhibitor is unable to promote death of IL-3-dependent cells cultured in presence of IL-3.

MSTR 1



G6 = 9 / 94

G9—G11 G25—G17
9 10 94 95

G7 = 11 / 150 / G17

L10 ANSWER 6 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G10—G11 G26—G17
11 12 150 151

G9 = 62-10 63-2 / 64-10 65-2

G23—G13 G13—G23
62 63 64 65

G10 = 134-12 135-1 / 136-12 137-1

G23—G13 G13—G23
134 135 136 137

G13 = NH
G17 = quinolinyl
G23 = C(O)
G25 = 107-95 108-2 / 109-95 110-2

G23—G13 G13—G23
107 108 109 110

G26 = 163-151 164-1 / 165-151 166-1

G23—G13 G13—G23
163 164 165 166

Patent location: claim 5
Note: also incorporates claim 6
Note: additional substitution also claimed

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 7 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G7 = 11 / 150 / G17

G10—G11 G26—G17
11 12 150 151

G9 = 62-10 63-2 / 64-10 65-2

G23—G13 G13—G23
62 63 64 65

G10 = 134-12 135-1 / 136-12 137-1

G23—G13 G13—G23
134 135 136 137

G13 = NH
G17 = quinolinyl
G23 = C(O)
G25 = 107-95 108-2 / 109-95 110-2

G23—G13 G13—G23
107 108 109 110

G26 = 163-151 164-1 / 165-151 166-1

G23—G13 G13—G23
163 164 165 166

Patent location: claim 5
Note: also incorporates claim 6
Note: additional substitution also claimed

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 7 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:432622 MARPAT
TITLE: Use of c-kit inhibitors for treating HIV-related diseases
INVENTOR(S): Moussy, Alain; Kinet, Jean-Pierre
PATENT ASSIGNEE(S): AB Science, Fr.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

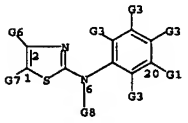
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005102318	A1	20051103	WO 2005-IB1433	20050419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-563442P 20040420

AB The invention discloses a method for treating HIV-related diseases, comprising administering a compound capable of depleting mast cells or a compound inhibiting mast cell degranulation, to a human in need of such treatment. Such compds. can be chosen from c-kit inhibitors and more particularly nontoxic, selective and potent c-kit inhibitors.
Preferably, the inhibitor is unable to promote death of IL-3-dependent cells cultured in presence of IL-3.

MSTR 1



G6 = 9 / 94

G9—G11 G25—G17
9 10 94 95

L10 ANSWER 8 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:432621 MARPAT
TITLE: Use of c-kit inhibitors for treating plasmodium-related diseases
INVENTOR(S): Moussy, Alain; Kinet, Jean-Pierre
PATENT ASSIGNEE(S): Ab Science, Fr.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

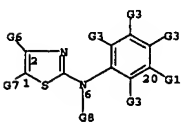
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005102455	A1	20051103	WO 2005-IB1390	20050419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-564599P 20040423

AB The invention discloses a method for treating plasmodium-related diseases, comprising administering a compound capable of inhibiting tyrosine kinases to a human in need of such treatment. Such compds. can be chosen from tyrosine kinase inhibitors including c-kit inhibitors and more particularly non-toxic, selective and potent tyrosine kinases inhibitors. Preferably, the inhibitor is unable to promote death of IL-3 dependent cells cultured in presence of IL-3.

MSTR 1



G6 = 9 / 94

G9—G11 G25—G17
9 10 94 95

G7 = 11 / 150 / G17

L10 ANSWER 8 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G10—G11 G26—G17
11 12 150 151

G9 = 62-10 63-2 / 64-10 65-2

G23—G13 G13—G23
62 63 64 65

G10 = 134-12 135-1 / 136-12 137-1

G23—G13 G13—G23
134 135 136 137

G13 = NH
G17 = quinolinyl
G23 = C(O)
G25 = 107-95 108-2 / 109-95 110-2

G23—G13 G13—G23
107 108 109 110

G26 = 163-151 164-1 / 165-151 166-1

G23—G13 G13—G23
163 164 165 166

Patent location: claim 5
Note: also incorporates claim 6
Note: additional substitution also claimed

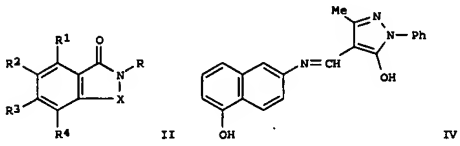
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 9 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:387025 MARPAT
TITLE: Preparation of aromatic or heterocycle imine and amide
derivatives as prostaglandin D2 (PGD2) production inhibitors
INVENTOR(S): Tanaka, Rika; Kitagawa, Hirohisa; Sasaki, Masao; Muto,
Susumu; Itai, Akiko; Tokuyama, Ryukou
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005094805	A1	20051013	WO 2005-JP6464	20050401

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2004-108702 20040401
GI



AB There is provided a medicine having prostaglandin D2 (PGD2) production inhibitory activity and having as an active ingredient a substance selected from compds. represented by the general formula A-Y-B (I) [herein
A and B each independently represents an optionally substituted, cyclic hydrocarbon or heterocyclic group; Y represents -CH= N-, -N=CH-, -CONH-, or -NHCO-, provided that the compds. represented by the following formula

L10 ANSWER 9 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
(II) [wherein X represents the formula -N= C(R5)- (wherein the left-side bond is bonded to the benzene ring and the right-side bond is bonded to the nitrogen atom) or the formula -NHCH(R5)- (wherein the left-side bond is bonded to the benzene ring and the right-side bond is bonded to the nitrogen atom); R1, R2, R3, and R4 each independently represents hydrogen,
halogeno, or optionally substituted C1-6 alkyl or hydroxy; R5 represents an optionally substituted C1-6 alkyl or C6-10 aryl group; R represents optionally substituted amino] are excluded] salts, hydrates, and solvates thereof. These drugs contg. the compds. I possess antiallergic, antiallergic-inflammatory, antiasthmatic, cerebral protective, sexual cycle-regulating, sleep-regulating, body temp.-regulating, analgesic, olfaction-regulating activities and activities for preventing the worsening of brain injuries or for improving brain after brain injuries. They also possess the inhibitory activity against the prodn. of hematopoietic prostaglandin D2. Thus, a soln. of 2.90 g 3-methyl-1-phenyl-4,5-dihydropyrazol-5-one in 4 mL DMF was treated with 1.85 mL POCl3 under ice-cooling, stirred at 80° for 1 h, and cooled to room temp., and the reaction mixt. was poured into ice water, stirred at room temp. overnight, filtered t give, after washing the product with water, drying, and washing with iso-Pr ether, 50% 3-methyl-5-oxo-1-phenyl-
4,5-dihydropyrazole-4-carboxaldehyde (III). A mixt. of the compd. III (222 mg), 159 mg 5-amino-1-naphthol, and 5 mL ethanol was refluxed for 30 min, cooled to room temp., and filtered to give, after washing with ethanol, 88% 5-hydroxy-1-phenyl-3-methyl-4-[[(1-hydroxy-6-naphthyl)imino]methyl]pyrazole (IV). The compd. IV at 10 μM inhibited >99% the prodn. of PGD2 in rat basophil leukemia cells RBL-2H3 expressing hematopoietic PGD2 synthetase.

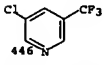
MSTR 1

G1—G2—G3
1 3

G1 = quinolinyl (substd. by G19)
G2 = 8-1 9-3

C(O)—NH
8 9

G3 = 446



Patent location: claim 1
Note: and pharmacologically acceptable salts, hydrates or
solvates
Note: substitution is restricted

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

L10 ANSWER 9 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

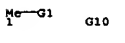
L10 ANSWER 10 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:305957 MARPAT
TITLE: Complex composite materials for oxidation catalysts and their preparation
INVENTOR(S): Fukushima, Yoshiaki; Takagi, Hideki; Kajino, Tsutomu; Horii, Mitsumasa; Masuda, Hideki; Sanekawa, Koichiro
PATENT ASSIGNEE(S): Toyota Central Research and Development Laboratories Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005255581	A2	20050922	JP 2004-67262	20040310

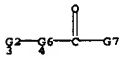
PRIORITY APPLN. INFO.: JP 2004-67262 20040310

AB Title materials are prepared by dissolving and/or dispersing asym. polynuclear complexes having Fe, Ru, and/or Mn, and 5- to 6-membered heterocycles having 1-4 N atom(s) in solvents and treatment with mesoporous substances to adsorb the complexes. Thus, [Fe2(Me2BPPDO)(PhCOO)](ClO4)2 (Me2BPPDO = N,N-bis(6-pivalamido-2-pyridylmethyl)-N',N'-bis(6-methyl-2-pyridylmethyl)-1,3-diaminopropan-2-ol) was treated with (EtO)3Si(CH2)3NHCO(CH2)3CO2H-modified FSM 16 (mesoporous silica) to give FSM 16-Fe2Me2BPPDO composite. Cyclohexene was oxidized with the catalysts to give cyclohexene oxide, 2-cyclohexen-1-ol, and 2-cyclohexen-1-one.

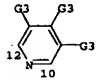
MSTR 1



G1 = 3



G2 = 12-1 10-4

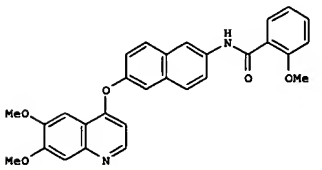


L10 ANSWER 10 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G6 = NH
G7 = quinolinyl
Patent location: claim 4
Note: as complexes with G10

L10 ANSWER 11 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:211847 MARPAT
TITLE: Preparation of heteroaryl substituted naphthalenes as inhibitors of Lck, VEGFR and/or HGF related activity
INVENTOR(S): Potashman, Michele; Kim, Tae-Seong; Bellon, Steven; Booker, Shon; Cheng, Yuan; Kim, Joseph L.; Tasker, Andrew; Xi, Ning; Xu, Shimin; Harmange, Jean-Christophe; Borg, George; Weiss, Matthew; Hodous, Brian L.; Graceffa, Russell; Buckner, Willian H.; Masse, Craig E.; Choquette, Deborah; Martin, Matthew W.; Germain, Julie; Dipietro, Lucian V.; Chaffee, Stuart C.; Nunes, Joseph J.; Buchanan, John L.; Habgood, Gregory J.; McGowan, David C.; Whittington, Douglas A.
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 444 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070891	A2	20050804	WO 2005-US2326	20050124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2004-538691P 20040123
GI

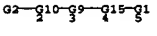


II

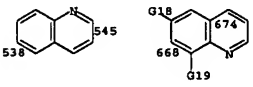
AB The title compds. I [R1XAYR; R = (un)substituted aryl, heterocyclyl, cycloalkyl, etc.; R1 = (un)substituted quinolinyl, quinazolinyl,

L10 ANSWER 11 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
pyrimidinyl, etc.; A = (un)substituted naphthalenediyl, etc.; X = O, S, (un)substituted NH, CH2; Y = NHCO, CONH, etc.] which are effective for prophylaxis and treatment of diseases, such as HGF mediated diseases, were prepd. E.g., a multi-step synthesis of II, starting from 6-hydroxy-2-naphthoic acid, was given. The compds. I showed inhibition of Lck kinase, c-Met kinase, and VEGFR kinase at less than 10 μM. The invention encompasses novel compds. I, analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutically compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like.

MSTR 1



G1 = pyridyl
G9 = 538-2 545-4 / 668-2 674-4



G15 = 293-3 294-5

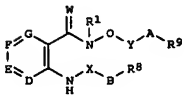
Patent location: claim 1
Note: and pharmaceutically acceptable derivatives
Note: substitution is restricted

L10 ANSWER 12 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:59676 MARPAT
TITLE: Preparation of novel hydroxamic acid esters for inhibiting angiogenesis
INVENTOR(S): Pensholdt, Jef; Thorhauge, Jacob; Norremark, Bjarne
PATENT ASSIGNEE(S): Leo Pharma A/S, Den.
SOURCE: PCT Int. Appl., 351 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

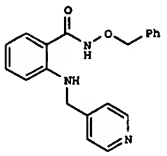
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054179	A2	20050616	WO 2004-DK840	20041202
WO 2005054179	A3	20050804		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-526262P 20031203
GI



I



II

AB The invention relates to compds. I [R1 = H, alkyl, cycloalkyl, etc.; D = N, CR2; E = N, CR3; F = N, CR4; G = N, CR5; R2-R5 = H, halo, OH, etc.; W = O, S, H2, NOR6, NR6; R6 = H, cycloalkyl, aryl, etc.; X, Y = (CH2)n, (CH2)pCH:CH(CH2)q, etc.; n, p, q = 0-6; B = aryl, heteroaryl, cycloalkyl, etc.; R8 = H, halo, OH, etc.; A = alkyl, cycloalkyl, heteroaryl, etc.; R9 = H, oxo, halo, etc.; with provision], for use alone or in combination with one or more other pharmaceutically active compds. in therapy, for treating diseases associated with deregulated angiogenesis, such as cancer.

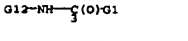
L10 ANSWER 13 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:482054 MARPAT
TITLE: Preparation of N-heteroaryl indole carboxamides and analogs thereof, for use as glucokinase activators in the treatment of diabetes
INVENTOR(S): Lau, Jesper F.; Vedso, Per; Kodra, Janos Tibor; Murray, Anthony; Jeppesen, Lone; Ankersen, Michael; Subramanian, Govindan; Mjalli, Adnan M. M.; Andrews, Robert Carl; Polisetti, Dharma Rao; Christen, Daniel Peter
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: Eur. Pat. Appl., 71 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1532980	A1	20050525	EP 2003-388079	20031124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
WO 2005049019	A1	20050602	WO 2004-DK814	20041124

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-388079 20031124
AB This invention relates to compds. of general formula B-CO-NH-A (where B = a substituted indole or pyrrolopyridine; A = a heterocycle) that are activators of glucokinase and thus may be useful for the management, treatment, control, or adjunct treatment of diseases, where increasing glucokinase activity is beneficial, such as diabetes. Synthetic procedures for the compds. are given in the disclosure.

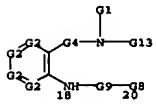
MSTR 1



G1 = quinolinyl (opt. substd.)
G12 = pyridyl (opt. substd.)
Patent location: claim 1
Note: substitution is restricted
Note: and salts with pharmaceutically acceptable acids or bases or tautomeric forms
Note: also incorporates broader disclosure
Note: additional derivatization also claimed
Stereochemistry: or optical isomers or mixtures of optical isomers

L10 ANSWER 12 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Over 400 compds. I were prepd. Thus, reacting 2-[(pyridin-4-ylmethyl)amino]benzoic acid (prepn. given) with O-benzylhydroxylamine hydrochloride afforded II which showed -logIC50 of 7.1 in an assay for in vitro KDR inhibition.

MSTR 1



G2 = N / 12

G8 = quinolinyl
G9 = 29-18 30-20

G10 = bond
Patent location: claim 1
Note: substitution is restricted
Note: and pharmaceutically acceptable salts, hydrates or solvates

L10 ANSWER 13 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
including racemic mixtures

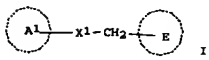
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:392428 MARPAT
TITLE: Preparation of heterocyclic compounds as antifungal agents
INVENTOR(S): Nakamoto, Kazutaka; Tsukada, Itaru; Tanaka, Keigo; Matsukura, Masayuki; Haneda, Toru; Inoue, Satoshi; Ueda, Norihiro; Abe, Shinya; Hata, Katsura; Watanabe, Naoaki
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 418 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005033079	A1	20050414	WO 2004-JP14063	20040927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2006016548	A1	20060216	WO 2005-JP14505	20050808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:
JP 2003-342273 20030930
JP 2004-68186 20040310
JP 2004-232617 20040809
WO 2004-JP14063 20040927
JP 2005-82760 20050322

GI



I

AB The title compds., e.g. I [ring A1 is optionally substituted 3-pyridyl, optionally substituted quinolyl, etc.; X1 is NHCO, etc.; and ring E is furyl, thienyl, pyrrolyl, Ph, pyridyl, tetrazolyl, thiazolyl, or pyrazolyl; provided that A1 may have one to three substituents and E has one or two substituents], are prepared 2,6-Diamino-N-(5-(4-fluorophenoxy)furan-2-ylmethyl)nicotinamide was prepared in a multistep process. Compds. of this invention in vitro showed MIC values of 0.1 μg/mL to 6.25 μg/mL against Candida.

L10 ANSWER 14 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1

G1 = quinolinyl
G2 = pyridyl
G3 = 10-1 9-3

G4 = O
Patent location: claim 1
Note: or salts or hydrates

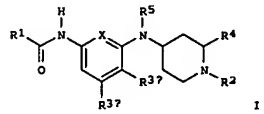
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:392299 MARPAT
TITLE: Preparation of aniline- and aminopyridine-derivatives as 5-HT1F receptor agonists
INVENTOR(S): Blanco-Pillado, Maria-Jesus; Cohen, Michael Philip; Pilla, Sandra Ann; Hudziak, Kevin John; Kohlman, Daniel Timothy; Benesh, Dana Rae; Victor, Frantz; Xu, Yao-Chang; Ying, Bai-Ping; Zacherl, Deanna Piatt; Zhang, Deyi
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

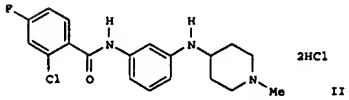
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035499	A1	20050421	WO 2004-US25607	20040903
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
US 2003-502780P 20030912

GI



I

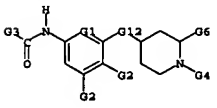


II

AB Title compds. I [X = -C(R3c)=, -N=; R1 = (un)substituted-alkyl, -cycloalkyl, -Ph, etc.; R2 = H, n-alkyl, cycloalkylalkyl with provisions;

L10 ANSWER 15 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
R3a, R3b, and, when X = -C(R3c)=, R3c independently = H, F, CH3 with provisions; R4 = H, alkyl; R5 = H, alkyl, cycloalkylcarbonyl with provisions] and their pharmaceutically acceptable salts, are prepd. and disclosed as useful agonists for 5-HT1F receptor. Thus, e.g., II was prepd. by reductive alkylation of 2-chloro-4-fluoro-N-(3-aminophenyl)benzamide (prepn. given) with 1-methylpiperidin-4-one. The binding ability of I towards the 5-HT1F receptor was evaluated using radioligand binding assay and it revealed that selected compds. of the invention had a high affinity for the receptor, with exemplary Ki values in the range of 600 nm or less. I as 5-HT1F receptor agonists should prove useful in the treatment of migraine.

MSTR 1



G1 = N
G3 = quinolinyl
Patent location: claim 1
Note: or pharmaceutically acceptable acid addition salts
Note: substitution is restricted

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

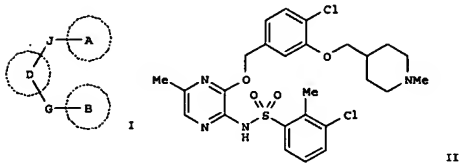
L10 ANSWER 16 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:316701 MARPAT
TITLE: Preparation of pyridinyl benzenesulfonylamide derivatives as chemokine receptor antagonist
INVENTOR(S): Habashita, Hiromu; Ochiai, Hiroshi; Tokuda, Natsuko; Shibayama, Shiro; Watanabe, Noriki; Komiya, Takaki; Takeda, Kazuhiko
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023771	A1	20050317	WO 2004-JP13186	20040903

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2003-314248 20030905
JP 2004-149683 20040519

GI



I II

AB Title compds. represented by the formula I [wherein ring A, B, D = independently (un)substituted cyclic group; J = OCH2, NHCH2, NHCO, C.tplbond.C; G = NHSO2; and their salts, N-oxides, solvates, or prodrugs thereof] were prepared as chemokine receptor (CCR) antagonist. For example,

L10 ANSWER 16 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
reaction of 3-chloro-2-methylbenzenesulfonylchloride with [4-chloro-3-[(1-methylpiperidin-4-yl)methoxy]phenyl]methanol gave II. II showed inhibition of human CCR4 with an IC50 value of 0.23 μM in the presence of 0.3% BSA. Thus, I and their pharmaceutical compns. are useful
as chemokine receptor (esp. CCR4 and/or CCR5) antagonists for the prevention and/or treatment of diseases assocd. with chemokine receptor, such as inflammatory, allergic diseases, organ transplant rejection reaction, and neoplasms.

MSTR 1

G1 = 20-2 19-3

G2 = quinolinyl (opt. substd.)
G3 = 282-1 283-4

G6 = bond
Patent location: claim 1
Note: or salts or n-oxides, solvates or prodrugs
Note: not both G3 and G6 contain more than 4 atoms

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

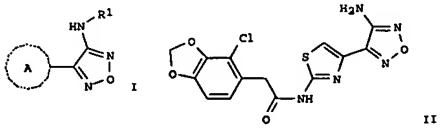
L10 ANSWER 17 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:280214 MARPAT
TITLE: Preparation of aminofurazan derivatives as protein kinase inhibitors
INVENTOR(S): Come, Jon H.; Green, Jeremy; Marhefka, Craig; Harbeson, Scott L.; Pham, Ly
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019190	A2	20050303	WO 2004-US27182	20040820

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005148640	A1	20050707	US 2004-922575	20040820

PRIORITY APPLN. INFO.: US 2003-496617P 20030820
GI

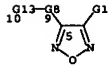


I II

AB Title compds. represented by the formula I [wherein R1 = R, SO2R, SO2NR2, C(O)R, CO2R or CONR2; R = H, (un)substituted aliphatic group or heterocyclic ring; ring A = (un)substituted heteroarom. ring; and pharmaceutically acceptable salts thereof] were prepared as protein kinase inhibitors. For example, II was given in a multi-step synthesis starting from malonitrile.
I showed inhibition of ribosomal protein kinase p70S6k, ROCK, GSK-3. Thus, I and their pharmaceutical compns. are useful as protein kinase inhibitors for the treatment of various disease, conditions, or disorders (no data).

MSTR 1

L10 ANSWER 17 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G8 = 115-10 116-5

G9 = N
G13 = 162

G14 = quinolinyl (opt. substd.)
G15 = 173-9 175-163

G16 = bond
G18 = NH
Patent location: claim 1
Note: additional heteroatom oxidations also disclosed
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Note: additional interruption also claimed

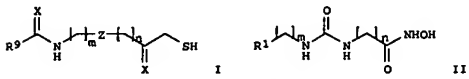
L10 ANSWER 18 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:219054 MARPAT
TITLE: Preparation of hydroxyamides and mercaptoacetamides as histone deacetylase inhibitors for treatment of neurological diseases and cancer
INVENTOR(S): Kozikowski, Alan P.; Chen, Bin
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S. Ser. No. 614,498.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005032831	A1	20050210	US 2004-843229	20040511
US 2005014839	A1	20050120	US 2003-614498	20030707
CA 2531661	AA	20050127	CA 2004-2531661	20040707
WO 2005007091	A2	20050127	WO 2004-US21663	20040707
WO 2005007091	A3	20050428		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-614498 20030707
US 2004-843229 20040511
WO 2004-US21663 20040707

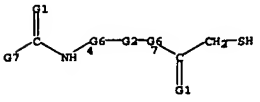
GI



AB The title mercaptoacetamides I [X = O, S; Z = a bond, (un)substituted Ph, naphthalenyl, pyridyl, quinolinyl, isoquinolinyl; R9 = (un)substituted Ph, naphthalenyl, pyridyl, quinolinyl, isoquinolinyl; m, n = 0-5] and hydroxyamides II [R1 = (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl; m, n = 1-10], useful as HDAC inhibitors, were prepared E.g., a 3-step synthesis of 4-[3-(4-dimethylaminobenzyl)ureido]-N-

L10 ANSWER 18 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
hydroxybutyramide, starting from benzyl 4-aminobutyrate toluene-4-sulfonic acid, was given. The invention provides methods for treating cancer and neurol. diseases. Methods of sensitizing a cancer cell to the cytotoxic effects of radiotherapy are also provided. Thus, numerous compds. I and II were tested in vitro for inhibition of HDAC and for sensitizing radiation resistant squamous carcinoma cell line SQ-20B to gamma radiation. One of the more effective inhibitors was 7-[3-(4-dimethylaminobenzyl]ureido]heptanoic acid hydroxyamide. The pharmaceutical compn. comprising the compd. I is also disclosed.

MSTR 1A



G1 = O
G2 = 52-4 53-7

G6 = (0-5) CH2
G7 = quinolinyl (opt. substd.)
Patent location: claim 1
Note: or pharmaceutically acceptable salts

L10 ANSWER 19 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:198081 MARPAT
TITLE: Preparation of (hetero)arylcarboxamides and related compounds as inhibitors of immune cell activation.
INVENTOR(S): Xie, Yu; Holmqvist, Mats; Mahiou, Jerome; Ono, Mitsonori; Sun, Lijun; Chen, Shoujun; Zhang, Shihie; Jiang, Jun; Chinmmanamada, Dinesh
PATENT ASSIGNEE(S): Synta Pharmaceuticals, Corp., USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

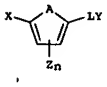
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009539	A2	20050203	WO 2004-US23895	20040722
WO 2005009539	A3	20050909		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005107436	A1	20050519	US 2004-897681	20040722
US 2005148633	A1	20050707	US 2004-897682	20040722

PRIORITY APPLN. INFO.: US 2003-489711P 20030723

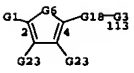
GI



AB A method of inhibiting immune cell activation comprises administration of title compds. [I; X = (substituted) Ph, triazolyl, pyridyl, indolidinyl; Y = (substituted) amino, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl; A = O, S, SO, SO2, NH, NZ, CH:CH, CH:N, CZ:N, etc.; Z = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, etc.; L = NRCH2, CO, NRCO, CS, NRCS, etc.; R = H, alkyl, Ac, Boc, Z; n = 0-4], were prepared Thus, 4'-amino-2,5-bistrifluoromethylbiphenyl (preparation given) and 4-methyl-1,2,3-thiadiazole-5-carboxylic acid were stirred 24 h with EDC and DMAP in CH2Cl2 to give 85% 4-methyl-1,2,3-thiadiazole-5-carboxylic acid (2',5'-bistrifluoromethylbiphen-4-yl)amide. The latter inhibited IL-2 production in PHA-activated Jurkat cells with IC50 <100 nM.

MSTR 1

L10 ANSWER 19 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G3 = quinolinyl
G6 = 170-2 171-4

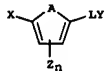
G16 = N
G18 = 190-4 191-113

G19 = NH
G20 = C(O)
Patent location: claim 1
Note: or pharmaceutically acceptable salts, solvates, clathrates or prodrugs

L10 ANSWER 20 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:197887 MARPAT
TITLE: Method for modulating calcium ion release-activated calcium ion channels using (hetero)arenecarboxamides and preparation thereof.
INVENTOR(S): Xie, Yu; Holmqvist, Mats; Mahiou, Jerome; Ono, Mitsunori; Sun, Lijun; Chen, Shoujun; Zhang, Shijie; Jiang, Jun; Chinmmanamada, Dinesh; Fleig, Andrea
PATENT ASSIGNEE(S): Synta Pharmaceuticals, Corp., USA
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009954	A2	20050203	WO 2004-US23797	20040722
WO 2005009954	A3	20050707		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005107436	A1	20050519	US 2004-897681	20040722
US 2005148633	A1	20050707	US 2004-897682	20040722
PRIORITY APPLN. INFO.:			US 2003-489711P	20030723

GI

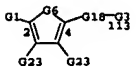


I

AB A method for modulating calcium ion release-activated calcium (CRAC) ion channels comprises administration of title compds. [I; X = (substituted) Ph, pyridyl, triazolyl, indolizinyl; Y = (substituted) amino, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl; A = O, S, SO, SO2, NH, CH:CH, N:CH, etc.; Z = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, haloalkyl, halo, cyano, NO2, haloalkoxy, amino, etc.; L = NRCH2, CO, NRCO, NRCS, etc.; R = H, alkyl, Ac, tert-butoxycarbonyl, benzyloxycarbonyl]. Thus, 2,5-bis(trifluoromethyl)bromobenzene, 4-nitrophenylboronic acid, trans-benzyl(chloro)bis(triphenylphosphine)palladium(II), K2CO3, and NMP were heated together at 110° for 2 days to give 99% 4''-nitro-2,5-bis(trifluoromethyl)biphenyl. This was stirred 2 days with SnCl2 in CH2Cl2/EtOH/H2O to give 85% 4'-amino-2,5-bis(trifluoromethyl)biphenyl. The latter was stirred with 2,3-difluorobenzoyl chloride and Et3N in CH2Cl2 to give 54% N-(2',5'-bistrifluoromethylbiphen-4-yl)benzamide. This inhibited IL-2 prodn. in PHA-activated Jurkat cells with IC50 <100 nM.

L10 ANSWER 20 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1



G3 = quinolinyl
G6 = 170-2 171-4

G16 = N
G18 = 190-4 191-113

G19 = NH
G20 = C(O)
Patent location: claim 1
Note: or pharmaceutically acceptable salts, solvates, clathrates or prodrugs

L10 ANSWER 21 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:150831 MARPAT
TITLE: Histone deacetylase inhibitors for treatment of neurological diseases and cancer
INVENTOR(S): Kozikowski, Alan P.; Dritschilo, Anatoly; Jung, Mira; Petukhov, Pavel; Chen, Bin
PATENT ASSIGNEE(S): Georgetown University, USA
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

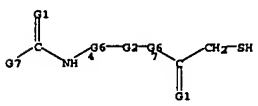
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007091	A2	20050127	WO 2004-US21663	20040707
WO 2005007091	A3	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005014839	A1	20050120	US 2003-614498	20030707
US 2005032831	A1	20050210	US 2004-843229	20040511
CA 2531661	AA	20050127	CA 2004-2531661	20040707
PRIORITY APPLN. INFO.:			US 2003-614498	20030707
			US 2004-843229	20040511
			WO 2004-US21663	20040707

AB One aspect of the invention relates to HDAC inhibitors. Methods of sensitizing a cancer cell to the cytotoxic effects of radiotherapy are also provided. The invention also provides methods for treating cancer and methods for treating neurol. diseases. Thus, numerous HDAC inhibitors were synthesized and tested in vitro for inhibition of HDAC and for sensitizing radiation resistant squamous carcinoma cell line SQ-20B to gamma radiation. One of the more effective inhibitors was 7-[3-(4-dimethylaminobenzyl)ureido]heptanoic acid hydroxyamide.

L10 ANSWER 21 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G6 = (0-5) CH2
G7 = quinolinyl (opt. substd.)
Patent location: claim 92
Note: or pharmaceutically acceptable salts

MSTR 9A

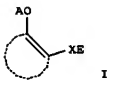


G1 = O
G2 = 52-4 53-7

L10 ANSWER 22 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:148826 MARPAT
TITLE: Chromatosis remedies
INVENTOR(S): Itai, Akiko; Muto, Susumu
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007151	A1	20050127	WO 2004-JP10558	20040716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 2003-197807	20030716

GI



I

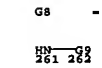
AB Preventive and/or therapeutic drugs for chromatosis and/or skin cancer, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable salts of the same, and hydrates and solvates thereof: (I) wherein X is a connecting group whose main chain has 2 to 5 atoms (which group may be substituted); A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -X-E (wherein X and E are each as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -X-E (wherein X and E are each as defined above).

L10 ANSWER 22 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
MSTR 1

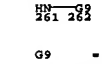
G2 = 2

G3 = 203-1 204-658

G6 = 3



G8 = 261-2 262-4



G9 = C(O)
G25 = quinolinyl
Patent location: claim 1
Note: and pharmaceutically acceptable salts, hydrates and solvates
Note: additional substitution also disclosed

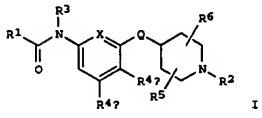
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 23 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:395422 MARPAT
TITLE: Preparation of N-[(piperidinyloxy)phenyl]-, N-[(piperidinyloxy)pyridinyl]-, N-[(piperidinylsulfanyl)phenyl]-, and N-[(piperidinylsulfanyl)pyridinyl]amides as 5-HT1F agonists for treatment of migraine
INVENTOR(S): Blanco-Pillado, Maria-Jesus; Benesh, Dana Rae; Filla, Sandra Ann; Hudziak, Kevin John; Mathes, Brian Michael; Kohlman, Daniel Timothy; Ying, Bai-Ping; Zhang, Deyi; Xu, Yao-Chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

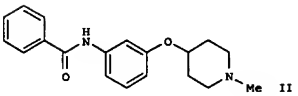
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094380	A1	20041104	WO 2004-US9283	20040414
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2518839	AA	20041104	CA 2004-2518839	20040414
EP 1626958	A1	20060222	EP 2004-759769	20040414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			US 2003-464396P	20030418
			WO 2004-US9283	20040414

GI

L10 ANSWER 23 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



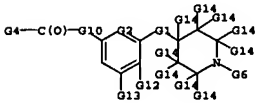
I



II

AB Title compds. I [wherein Q = O, S; X = CR4c, N; R1 = (un)substituted alkyl, cycloalkyl(alkyl), Ph, heterocyclyl; R2 = H, (fluoro)alkyl, cycloalkylalkyl, (un)substituted pyrazolyl(alkyl); R3 = H, alkyl; R4a, R4b, R4c = independently H, halo, (fluoro)alkyl; R5, R6 = independently H, (fluoro)alkyl; with the proviso that R6 = alkyl only when R5 = H; and pharmaceutically acceptable acid addition salts thereof] were prepared by standard and solid phase combinatorial methods as 5-HT1F agonists. For example, amidation of [3-[(1-methylpiperidin-4-yl)oxy]phenyl]amine (preparation given) with benzoyl chloride afforded II (91%). In a radioligand binding assay using Ltk cells transfected with the human 5-HT1F receptor sequence, exemplified invention compds. exhibited high affinity for the receptor with Ki values of ≤ 150 nM. Thus, I and their pharmaceutical compns. are useful for activating 5-HT1F receptors, inhibiting neuronal protein extravasation, and treating or preventing migraine in mammals, especially humans (no data).

MSTR 1

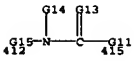


G2 = N
G4 = quinolinyl
G10 = NH
Patent location: claim 1
Note: or pharmaceutically acceptable acid addition salts
Note: substitution is restricted

L10 ANSWER 23 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 24 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G11 = bond
G13 = O
G15 = bond
Patent location: claim 1
Note: and salts, esters or hydrates
Note: substitution is restricted
Note: additional substitution also disclosed
Note: interruptions of Ak in G32 also claimed

L10 ANSWER 24 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:82334 MARPAT
TITLE: Carboxylate analogs for increasing blood HDL level as antiarteriosclerotics
INVENTOR(S): Miyashita, Sadakazu; Shinoda, Masanobu; Hiyoshi Hironobu; Matsuura, Fumiyoshi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 229 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004182657	A2	20040702	JP 2002-352069	20021204

PRIORITY APPLN. INFO.: JP 2002-352069 20021204

AB Carboxylate analogs (I, YLXTZUMW wherein L, M, T = (substituted) C1-6 alkylene; W = carboxy, etc., X = O, etc.) are claimed for increasing blood HDL level without affecting triglycerides as antiarteriosclerotics. I were prepared, and their effects on blood lipids were studied.

MSTR 1A

G1 = bond
G3 = 49

G4 = quinolinyl
G5 = 51-2 52-50

G6 = 105-2 103-52

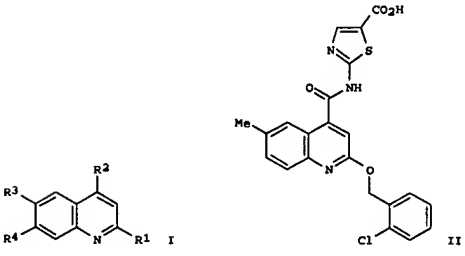
G10 = 412-51 415-50

L10 ANSWER 25 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:7040 MARPAT
TITLE: Preparation of quinoline derivatives as glucokinase inhibitors
INVENTOR(S): Hargreaves, Rodney Brian; Davies, Christopher Daniel
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004045614	A1	20040603	WO 2003-GB4915	20031113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003282233	A1	20040615	AU 2003-282233	20031113
EP 1583532	A1	20051012	EP 2003-773851	20031113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: GB 2002-26931 20021119
WO 2003-GB4915 20031113

GI



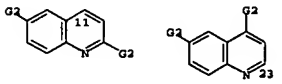
AB The title compds. I [wherein R1 and R2 = independently H, alkyl, alkoxy, carbocyclyl(oxy), heterocyclyl(oxy), or substituted carbamoyl; R3 and R4 =

L10 ANSWER 25 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
independently H, alkyl, alkoxy, carbocyclyl(oxy), or heterocyclyl(oxy)] or
salts, solvates, or prodrugs thereof are prepd. as glucokinase inhibitors.
For example, the compd. II was prepd. in a multi-step synthesis. I are useful for the treatment or prevention of a disease or medical conditions mediated through glucokinase (no data). Formulations contg. I as an active ingredient were also described.

MSTR 1

G1—C(O)—G16

G1 = 11 / 23



G10 = 2-pyridyl (opt. substd. by 1 or more G11)
G16 = 3

HN(3)—G10

Patent location: claim 1
Note: substitution is restricted
Note: or salts, solvates or prodrugs
Note: also incorporates claim 9

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 26 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:303552 MARPAT
TITLE: Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P.; Voss, Mathew E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 150 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004072802	A1	20040415	US 2002-267207	20021009

PRIORITY APPLN. INFO.: US 2002-267207 20021009

AB Novel β-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.;
R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

MSTR 1

G1(2)—G14(30)—G11(31)

G11 = quinolinyl (opt. substd.)
G14 = 38-2 40-31

G45(38)—G15(39)—G16(40)

G15 = 90-38 94-40

L10 ANSWER 26 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G16 = 206-39 207-31

G18(206)—C(O)(207)

G18 = 49

N(49)—G17

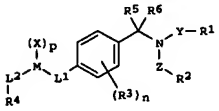
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Note: also incorporates claim 6
Stereochemistry: or stereoisomers

L10 ANSWER 27 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:77029 MARPAT
TITLE: Preparation of heteroarene derivatives as cannabinoid receptor agonists
INVENTOR(S): Kozlowski, Joseph A.; Shankar, Bandarpalle B.; Shih, Neng-yang; Tong, Ling
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000807	A1	20031231	WO 2003-US19245	20030617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487346	AA	20031231	CA 2003-2487346	20030617
AU 2003243637	A1	20040106	AU 2003-243637	20030617
US 2004044051	A1	20040304	US 2003-464174	20030617
EP 1539693	A1	20050615	EP 2003-761108	20030617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1662496	A	20050831	CN 2003-814441	20030617
JP 2005533809	T2	20051110	JP 2004-515897	20030617

PRIORITY APPLN. INFO.: US 2002-389788P 20020619
WO 2003-US19245 20030617

GI

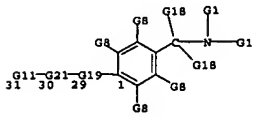


I

AB Benzylamine and 1-phenylethylamine compds. containing heteroarene such furan,
benzofuran, indole, pyridine, and thiofuran of the formula (I) or pharmaceutically acceptable salts thereof [wherein R1, R2 = H, each (un)substituted alkyl, alkenyl, haloalkyl, NH2, cycloalkyl, cycloheteroalkyl, aryl, or heteroaryl; R3 = alkyl, heteroalkyl, aryl, heteroaryl, Br, Cl, F, CF3, OCF2H, OCF3, or alkoxy, wherein R3 can be the same or different and is independently selected when n>1; R4 = (un)substituted H, alkyl, alkenyl, cycloalkyl, cycloheteroalkyl, aryl, or heteroaryl; R5, R6 = H, each (un)substituted alkyl, alkenyl, cycloalkyl,

L10 ANSWER 27 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
cycloheteroalkyl, aryl, or heteroaryl; R7 = H, each (un)substituted alkyl,
alkenyl, haloalkyl, cycloalkyl, cycloheteroalkyl, aryl, or heteroaryl, or two R7 groups can form a ring of 4-7-carbon atoms; L1 = C(R2)2, CO, [CH(OR2)], SO2, SO, S, O, N(R2), CONH, NHCO, CF2, CH:NOR2, CH(NHOR2); L2 = a covalent bond, CH2, CH(Me), C(Me)2, CH:NOR2, SO2, SO, S, CO, O, N(R2), CONH, NHCO; M = a heteroaryl moiety; n = 0-4; p = 0-5; X = Br, Cl, F, CF3, OH, OCF2H, OCF3, alkoxy, alkyl, cycloalkyl, cycloalkyloxy, heteroalkyl, CON(R7)2, SO2R2, OSO2R2, wherein X is independently selected when p>1; Y = a covalent bond, CH2, SO2, CO; Z = a covalent bond, CH2, SO2, or CO; some provisos are applied] are prepd. Disclosed is a method of stimulating cannabinoid CB2 receptors in a patient comprising administering to a patient having CB2 receptors a CB2 receptor stimulating amt. of one or more compds. I. Also disclosed is a method of treating cancer, inflammatory diseases, immunomodulatory diseases, or respiratory diseases comprising administering to a patient in need of such treatment one or more compds. I. The said cancer, inflammatory diseases, immunomodulatory diseases or respiratory diseases are one or more diseases selected from the group consisting of cutaneous T cell lymphoma, rheumatoid arthritis, systemic lupus erythematosus, multiple sclerosis, glaucoma, diabetes, osteoporosis, renal ischemia, myocardial infarction, cerebral stroke, cerebral ischemia, nephritis, hepatitis, glomerulonephritis, cryptogenic fibrosing alveolitis, psoriasis, atopic dermatitis, vasculitis, allergy, seasonal allergic rhinitis, Crohn's disease, inflammatory bowel disease, reversible airway obstruction, adult respiratory distress syndrome, asthma, chronic obstructive pulmonary disease (COPD), and bronchitis.

MSTR 1



G11 = 63

G16 = quinolinyl
G17 = 77-30 78-64

L10 ANSWER 27 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G21 = 123-31 122-29

G26 = N
Patent location: claim 1
Note: or pharmaceutically acceptable salts, solvates or N-oxides

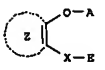
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 28 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:42216 MARPAT
TITLE: Preparation of phenol or phenyl acetate derivatives for treatment of allergic diseases
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 418 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103665	A1	20031218	WO 2003-JP7120	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488367	AA	20031218	CA 2003-2488367	20030605
AU 2003242103	A1	20031222	AU 2003-242103	20030605
EP 1514544	A1	20050316	EP 2003-730831	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: JP 2002-165148 20020606
WO 2003-JP7120 20030605

GI



I

AB The title compds. I [wherein X = a connecting group; A = H or acetyl; E = (un)substituted aryl or heteroaryl; ring Z = (un)substituted arene or heteroarene] and pharmaceutically acceptable salts, hydrates, and solvates thereof are prepared for the treatment of allergic diseases, endometriosis, and/or hysteromyoma (no data). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamide s, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared The compds. I exhibited inhibitory activities against IgE production, cell proliferation, and cell degranulation.

L10 ANSWER 28 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
MSTR 1

G2 = quinolinyl
G3 = 203-1 204-3

G8 = 261-2 262-4

G9 = C(O)
Patent location: claim 1
Note: and pharmaceutically acceptable salts and hydrates
Note: additional substitution also disclosed

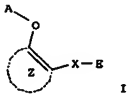
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 29 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:42204 MARPAT
TITLE: Preparation of immunity-related protein kinase inhibitors
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103658	A1	20031218	WO 2003-JP7130	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487900	AA	20031218	CA 2003-2487900	20030605
AU 2003242131	A1	20031222	AU 2003-242131	20030605
EP 1510210	A1	20050302	EP 2003-730840	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006019958	A1	20060126	US 2005-515343	20050801

PRIORITY APPLN. INFO.: JP 2002-164525 20020605
WO 2003-JP7130 20030605

GI



I

AB The title compds. I [X is a connecting group whose main chain has 2 to 5 atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and Z is arene which may have a substituent in addition to the groups represented by the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above)] are prepd. Compds. of this invention in vitro at 1 μg/mL gave 90% to 92.6% inhibition of NF-κB activation.

MSTR 1

G2 = quinolinyl
G3 = 203-1 204-3

G8 = 261-2 262-4

G9 = C(O)
Patent location: claim 1
Note: and pharmaceutically acceptable salts and hydrates
Note: additional substitution also disclosed

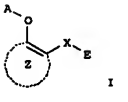
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 30 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:27850 MARPAT
TITLE: Preparation of phenol or phenyl acetate derivatives as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 396 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103648	A1	20031218	WO 2003-JP7131	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488342	AA	20031218	CA 2003-2488342	20030605
AU 2003242137	A1	20031222	AU 2003-242137	20030605
EP 1510207	A1	20050302	EP 2003-730841	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: JP 2002-164524 20020605
WO 2003-JP7131 20030605

GI



I

AB Disclosed are medicines for the prevention and/or treatment of diabetes and/or diabetes complications, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and the ring Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E, or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E). Also disclosed are medicines possessing insulin-resistance improving, hyperinsulinemia improving, and/or hyperglycemia improving activity. A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamide s, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepd. The compds. I improve insulin resistance by specifically inhibiting IKK-β (I κB kinase β).

MSTR 1

G2 = quinolinyl
G3 = 203-1 204-3

G8 = 261-2 262-4

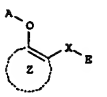
G9 = C(O)
Patent location: claim 1
Note: and pharmaceutically acceptable salts and hydrates
Note: additional substitution also disclosed

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 31 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:27849 MARPAT
TITLE: Preparation of phenol or phenyl acetate derivatives as
inhibitors against the activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT)
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103647	A1	20031218	WO 2003-JP7129	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487891	AA	20031218	CA 2003-2487891	20030605
AU 2003242127	A1	20031222	AU 2003-242127	20030605
EP 1512396	A1	20050309	EP 2003-730839	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			JP 2002-164526	20020605
			WO 2003-JP7129	20030605

GI

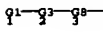


I

AB Disclosed are medicines for inhibiting the activation of AP-1 or NFAT, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally
substituted aryl or optionally substituted heteroaryl; and the ring Z is

L10 ANSWER 31 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
arene which may have a substituent in addn. to the groups represented by the general formulas: -O-A and -X-E, or heteroarene which may have a substituent in addn. to the groups represented by the general formulas: -O-A and -X-E). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides,
N-phenylhydroxypyridinecarboxamide
s, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepd. The compds. I can exhibit the inhibitory activity against releasing inflammatory cytokines,
inflammatory
activity, immunosuppressant activity, and antiallergic activity based on inhibiting the activation of AP-1 or NFAT.

MSTR 1



G2 = quinolinyl
G3 = 203-1 204-3

G8 = 261-2 262-4

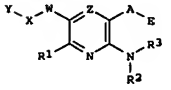
G9 = C(O)
Patent location: claim 1
Note: and pharmaceutically acceptable salts and hydrates
Note: additional substitution also disclosed

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 32 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:395950 MARPAT
TITLE: Preparation of substituted pyrazines as protein kinase
modulators
INVENTOR(S): Buhr, Chris A.; Baik, Tae-Gon; Ma, Sunghoon; Tesfai, Zerom; Wang, Longcheng; Co, Erick Wang; Epshteyn, Sergey; Kennedy, Abigail R.; Chen, Baili; Dubenko, Larisa; Anand, Neel Kumar; Tsang, Tsze H.; Nusa, John M.; Peto, Csaba J.; Rice, Kenneth D.; Ibrahim, Mohamed
Abdulkader; Schnepp, Kevin Luke; Shi, Xian; Leahy, James William; Chen, Jeff; Dalrymple, Lisa Esther; Forsyth, Thimothy Patrick; Huynh, Tai Phat; Mann, Grace; Mann, Lary Wayne; Takeuchi, Craig Stacy
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 468 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093297	A2	20031113	WO 2003-US13869	20030502
WO 2003093297	A3	20040701		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2484209	AA	20031113	CA 2003-2484209	20030502
EP 1501514	A2	20050202	EP 2003-728690	20030502
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530760	T2	20051013	JP 2004-501436	20030502
PRIORITY APPLN. INFO.:			US 2002-377933P	20020503
			WO 2003-US13869	20030502

GI

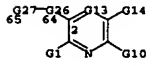


I

AB This invention relates to compds. I [R1 = H, halo, CN, etc.; R2, R3 = H, alkyl, aryl, etc.; R4 = H, alkyl, aryl, etc.; Z = N, CH; A = CO, CS,

L10 ANSWER 32 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
C(:NR6), R7 (when A = R7, E does not exist); R6 = H, NO2, CN, etc.; R7 = (un)substituted 5-7 membered heterocyclyl; E = NR8R9, NNR2R3, OR4, etc.; R8 = H, alkyl; R9 = H, heteroarylalkyl, etc.; NR8R9 = (un)substituted 5-7 membered heteroalicyclyl; W = 6-10 membered arylene, 5-10 membered heteroarylene; X = a bond, (un)substituted alkylene, O(CH2)2-30, etc.; Y =
H, alkyl, aryl, etc.; with provisoa] for modulating protein kinase enzymic
activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion, and to pharmaceutical compns. contg. such compds. Even more specifically, the
invention relates to compds. I that inhibit, regulate and/or modulate kinases, particularly Checkpoint Kinases, even more particularly Checkpoint Kinase 1, or Chk1. Prepn. of representative compds. I is described. Thus, amidation of 3-amino-6-phenylpyrazinecarboxylic acid (prepn. given) with benzylamine afforded 67% 3-amino-6-phenyl-N-(phenylmethyl)pyrazine-2-carboxamide which showed IC50 of 10,000 nM or greater against Chk1. Table presenting activity data with respect to Chk1
for over 1000 compds. I is given. Methods of therapeutically or prophylactically using the compds. I and compns. to treat kinase-dependent
diseases and conditions are also an aspect of the invention, and include methods of treating cancer, as well as other disease states assocd. with unwanted angiogeneais and/or cellular proliferation, by administering effective amts. of such compds.

MSTR 1

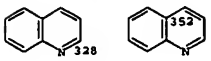


G26 = 146-65 150-2

G27 = 66 / G43

G28 = G43
G29 = 68-64 70-67

L10 ANSWER 32 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G30 = (0-3) CH2 (opt. substd.)
G31 = NH
G40 = N / CH (opt. substd.)
G43 = 328 / 352

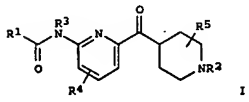


Patent location: claim 1
Note: or pharmaceutically acceptable salts, hydrates or prodrugs
Note: substitution is restricted
Note: additional substitution also claimed

L10 ANSWER 33 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:323436 MARPAT
TITLE: Preparation of pyridinoylpiperidines as 5-HT1F agonists
INVENTOR(S): Cohen, Michael Philip; Kohlman, Daniel Timothy; Liang, Sidney Xi; Mancuso, Vincent; Victor, Frantz; Xu, Yao-Chang; Ying, Bai-Ping; Zacherl, Deanna Piatt; Zhang, Deyi
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084949	A1	20031016	WO 2003-US8455	20030327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
NZ 534952	A	20051125	NZ 2003-534952	20030324
CA 2478229	AA	20031016	CA 2003-2478229	20030327
AU 2003224719	A1	20031020	AU 2003-224719	20030327
EP 1492786	A1	20050105	EP 2003-721402	20030327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008495	A	20050201	BR 2003-8495	20030327
JP 2005530722	T2	20051013	JP 2003-582146	20030327
US 2005222206	A1	20051006	US 2004-509770	20040928
NO 2004004654	A	20041028	NO 2004-4654	20041028
PRIORITY APPLN. INFO.:			US 2002-369088P	20020329
			WO 2003-US8455	20030327

OTHER SOURCE(S): CASREACT 139:323436
GI

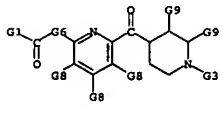


I

L10 ANSWER 33 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. [I; R1 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, Ph, heterocycle; R2 = H, alkyl, cycloalkylalkyl, pyrazolylalkyl; R3 = H, alkyl; R4 = H, halo, alkyl; R5 = H, alkyl], were prepared for activating 5-HT1F receptors, inhibiting neuronal protein extravasation, and for the treatment or prevention of migraine. Thus, 2-amino-6-(1-methylpiperidin-4-ylcarbonyl)pyridine (preparation given), 4-fluorobenzoyl chloride, and Et3N were stirred in CH2Cl2 at room temperature for 4 h to give 4-fluoro-N-[6-(1-methylpiperidin-4-ylcarbonyl)pyridin-2-yl]benzamide dihydrochloride. I bound to as 5-HT1F receptors with Ki <300 nM. I drug formulations are given.

NSTR 1



G1 = quinolinyl (opt. substd.)
G6 = NH
Patent location: claim 1
Note: or pharmaceutically acceptable acid addition salts

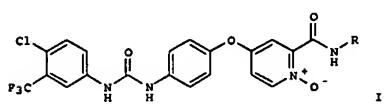
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 34 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:197370 MARPAT
TITLE: Preparation of aryl ureas containing pyridine, quinoline and isoquinoline N-oxide functionality as kinase inhibitors
INVENTOR(S): Dumas, Jacques; Scott, William J.; Riedl, Bernd
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068229	A1	20030821	WO 2003-US4110	20030211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003209119	A1	20030904	AU 2003-209119	20030211
US 2003216396	A1	20031120	US 2003-361850	20030211
PRIORITY APPLN. INFO.:			US 2002-354935P	20020211
			WO 2003-US4110	20030211

GI

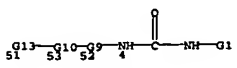


I

AB The title ureas containing a pyridine, quinoline, or isoquinoline functionality which is oxidized at the nitrogen heteroatom MLBNHCONHA [A = (un)substituted Ph, naphthyl, 5-6 membered monocyclic heteroaryl, 8-10 membered bicyclic heteroaryl; B = (un)substituted phenylene, naphthylene, 5-6 membered monocyclic heteroarylene, 8-10 membered bicyclic heteroarylene; L = (CH2)mO(CH2)l, (CH2)m(CH2)l, (CH2)mCO(CH2)l, etc.; m, l = 0-4; M = (un)substituted pyridine-1-oxide, quinoline-1-oxide, isoquinoline-1-oxide; with the provisos] which are useful in the treatment of (i) raf mediated diseases, for example, cancer, (ii) p38 mediated diseases such as inflammation and osteoporosis, and (iii) VEGF mediated diseases such as angiogenesis disorders, were claimed. Preparation of two ureas such as I [R = H, Me] which are not compds. of the invention, and

L10 ANSWER 34 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
have been distinguished from the compds. of the invention by a proviso, was described. Pharmaceutical compn. comprising the title ureas was claimed.

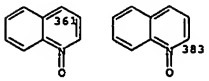
MSTR 1A



G9 = 223-4 227-53

G10 = 513-51 514-52

G13 = 361 / 383



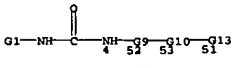
G19 = NH
Patent location: claim 1
Note: or salts or prodrugs
Note: substitution is restricted
Note: additional substitution also claimed
Stereochemistry: or isolated stereoisomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 35 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Prepns. of three title ureas are described. E.g., a 3-step synthesis of the urea I (starting from Me 4-chloro-2-pyridinecarboxylate hydrochloride), was given. The KDR (VEGFR2) assay for testing the title ureas is described.

MSTR 1A



G9 = 223-4 227-53

G10 = 284-52 285-51

G13 = quinolinyl
G20 = NH
Patent location: claim 1
Note: or salts or prodrugs
Note: substitution is restricted
Note: additional substitution also claimed
Stereochemistry: or isomers

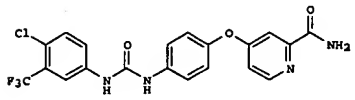
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 35 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:197369 MARPAT
TITLE: Preparation of aryl ureas with angiogenesis inhibiting activity
INVENTOR(S): Dumas, Jacques; Scott, William J.; Elting, James; Hatoum-Makdad, Holia
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068228	A1	20030821	WO 2003-US4103	20030211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2475703	AA	20030821	CA 2003-2475703	20030211
AU 2003209116	A1	20030904	AU 2003-209116	20030211
US 2003207870	A1	20031106	US 2003-361858	20030211
EP 1478358	A1	20041124	EP 2003-707846	20030211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005522448	T2	20050728	JP 2003-567410	20030211
			US 2002-354950P	20020211
PRIORITY APPLN. INFO.:			WO 2003-US4103	20030211

GI



I

AB The title compds. ANHCONHB [A, B = (un)substituted Ph, naphthyl, 5-6 membered monocyclic heteroaryl, etc.], useful for treating diseases mediated by the VEGF induced signal transduction pathway characterized by abnormal angiogenesis or hyperpermeability processes, were claimed.

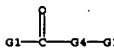
L10 ANSWER 36 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:142824 MARPAT
TITLE: Catalytic preparation of aryl methyl ketones using a molecular oxygen-containing gas as the oxidant
INVENTOR(S): Chan, Albert Sun-Chi; Qi, Jian-Ying; Pai, Cheng-Chao; Li, Xian-Jun; Deng, Li-Sheng; Li, Wen-Zao; Sun, Bin; Hu, Jia-Yuan
PATENT ASSIGNEE(S): The Hong Kong Polytechnic University, Hong Kong; Sichuan University
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003144554	A1	20030731	US 2002-55016	20020125
US 6680385	B2	20040120		
PRIORITY APPLN. INFO.:			US 2002-55016	20020125

OTHER SOURCE(S): CASREACT 139:142824

AB A method for the preparation of aryl Me ketones with high turnover frequency and selectivity converts a variety of Et arenes to the corresponding aryl Me ketones using a dioxygen-containing gas as the oxidant without solvent. The prepsred catalysts used for the reaction are transition metal arylcarboxamide complexes bearing general formulas as disclosed. Thus, Co(PPA)3 (PPA = N-phenyl-2-pyridinecarboxamide) was prepared and added to an autoclave oxygen charged autoclave with ethylbenzene to yield acetophenone with > 92% selectivity.

MSTR 1

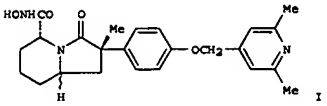


G1 = pyridyl (opt. substd. by 1 or more G2) / quinolinyl (opt. substd.)
G4 = NH
Patent location: claim 1
Note: as complexes with G5
Note: additional ligands also claimed

L10 ANSWER 37 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:101025 MARPAT
TITLE: Preparation of bicyclic lactam derivatives as inhibitors of matrix metalloproteinases and/or TNF-α converting enzyme (tace)
INVENTOR(S): Decicco, Carl; Song, Ying; Duan, Jingwu; Voss, Matthew
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055856	A2	20030710	WO 2002-US33143	20021016
WO 2003055856	A3	20040108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003181438	A1	20030925	US 2002-271441	20021016
US 6884806	B2	20050426		
PRIORITY APPLN. INFO.:			US 2001-329636P	20011017

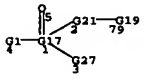
GI



AB R6CHAN(BR4R5)COCR1R2R3 [A = acyl, (un)substituted CO2H, CONHOH, NH2, N(OH)CHO, SH, CH2SH, S(O)NH2, s(:NH)2H, SCHO, P(O)(OH)2, P(O)(OH)NH2; R1, R2 = substituent; R3R4 = atoms required to complete an (un)substituted 5-7-membered heterocyclic ring; R5R6 = atoms required to complete an (un)substituted 4-8-membered heterocyclic ring; B = N, C, α-HC] were prepared for use as metalloproteinase, TNF-α, and aggrecanase inhibitors (no data). Thus, 4-PhCH2OC6H4CHMeCO2Me was alkylated with 2-chloromethylpyridine, debenzylated, lactamized, followed by O-silylation and separation of the diastereomers which were desilylated and treated with

L10 ANSWER 37 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
2,6-dichloro-4-bromomethylpyridine to give the diastereomers of the indolizine I.

MSTR 1B



G19 = quinolinyl (opt. subatd.)
G21 = 250-1 251-79

G35 = 275-1 279-251

G36 = 327-250 328-79

Patent location: claim 1
Note: or pharmaceutically acceptable salt forms
Note: oxo substitution also claimed
Note: substitution is restricted
Stereochemistry: or stereoisomers

L10 ANSWER 38 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:53012 MARPAT
TITLE: Preparation of acylaminothiazolecarboxylates for the treatment or prevention of flavivirus infections
INVENTOR(S): Chan, Chun Kong Laval; Pereira, Ozwy Z.; Nguyen-ba, Nghe; Reddy, Thumkunta Jagadeeswar; Das, Sanjoy Kumar; Siddiqui, Mohammad Arshad
PATENT ASSIGNEE(S): Shire Biochem Inc., Can.
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

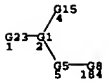
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1321463	A1	20030625	EP 2002-28743	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003199503	A1	20031023	US 2002-324140	20021220
US 6936629	B2	20050830		
PRIORITY APPLN. INFO.:			US 2001-341879P	20011221

GI

AB Title compds. [I; X = NR3SOnR2, NR3CHR2R3, SOnNR2R3, NR3C(:W)R2, etc.; n = 0-2; Y = CO2R5, COCO2R5, SO2OR5, CONR5OH, etc.; R4, R5, R6 = H, alkyl, alkenyl, alkynyl, aryl, heterocyclyl, heteroaryl, aralkyl; W = O, S, NR6; R1 = alkyl, alkenyl, alkynyl, aryl, heterocyclyl, heteroaryl, aralkyl, alkoxy, aryloxy, halo; R2 = alkyl, alkenyl, alkynyl, aryl, heterocyclyl, aralkyl, heteroaralkyl; R3 = H, alkyl, aralkyl; with provisos], were prepared Thus, PhCS2Me, H2NCN, and KOMe were heated in MeOH overnight at 70-75° followed by cooling to room temperature, addition of BrCH2CO2Me, stirring for 4 h, addition of Et3N, and stirring overnight to give tert-Bu 4-amino-2-phenylthiazole-5-carboxylate. This was treated successively with p-toluoyl chloride/NaH in DMF, with MeI/NaH in DMF, and finally with CF3CO2H in CH2Cl2 to give 4-[methyl(4-methylbenzoyl)amino]-2-phenylthiazole-5-carboxylic acid. The latter showed IC50 <5 μM for inhibition of HCV RNA-dependent RNA polymerase.

MSTR 1

L10 ANSWER 38 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = 7-1 10-5 9-4

G2 = NH
G5 = 16-2 17-184 / 40-2 41-184

G7 = 24

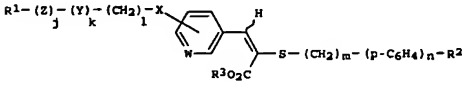
G8 = quinolinyl
G10 = O
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 39 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:304056 MARPAT
TITLE: Preparation of 2-phenylalkylthio-3-phenyl-2-propenoic acids and Cdc25 phosphatase inhibitors
INVENTOR(S): Kitaide, Makoto; Nagai, Kentaro; Terada, Tadashi; Asao, Tetsuji; Sugimoto, Yoshikazu; Yamada, Yuji
PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003104964	A2	20030409	JP 2001-301335	20010928

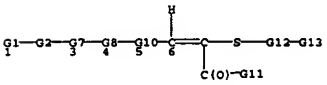
PRIORITY APPLN. INFO.: JP 2001-301335 20010928
GI



I

AB The compds. I [R1 = H, cycloalkyl, Ph, naphthyl, pyridyl, phenylpyrazolyl, etc.; W = CH, N; X = O, OCH2, NR4; R4 = H, lower alkyl, (un)substituted aralkyl; Y = 1,4-piperazinyl, NHCHR5CONH, NH; R5 = H, (un)substituted lower alkyl; Z = CO2H, SO3H; R2 = alkyl, Ph, NR6R7; R6, R7 = lower alkyl; R3 = H, lower alkyl; j, k, n = 0, 1; l = 0-6; m = 1-10] or their pharmaceutically acceptable salts are prepared Me 3-[4-[(4-tert-butylphenyl)methoxy]phenyl]-2-[(4-tert-butylphenyl)methylthio]-2-propenoate was treated with NaOH in THF-MeOH at room temperature for 17 h to give 320 mg 2-[[4-tert-butylphenyl]methylthio]-3-[4-[(4-tert-butylphenyl)methoxy]phenyl]-2-propenoic acid showing Cdc25 phosphatase inhibitory activity IC50 of 3.6 μm.

MSTR 1A



G1 = quinolinyl (opt. substd.)

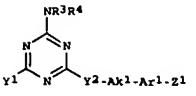
L10 ANSWER 39 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G2 = C(O)
G7 = (0-6) CH2
G8 = NH
G10 = 49-6 52-4

Patent location: claim 1
Note: or pharmaceutically acceptable salts

L10 ANSWER 40 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:271705 MARPAT
TITLE: Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase
INVENTOR(S): Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit, Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: PCT Int. Appl., 347 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024448	A2	20030327	WO 2002-US29017	20020912
WO 2003024448	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465978	AA	20030327	CA 2002-2465978	20020912
EP 1429765	A2	20040623	EP 2002-763627	20020912
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012510	A	20040824	BR 2002-12510	20020912
JP 2005508905	T2	20050407	JP 2003-528544	20020912
JP 2005255683	A2	20050922	JP 2005-80310	20050318

PRIORITY APPLN. INFO.: US 2001-322402P 20010914
US 2002-391728P 20020626
JP 2003-528544 20020912
WO 2002-US29017 20020912
GI



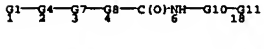
I

AB The invention relates to triazines (shown as I; variables defined below; e.g. 4-[[4-amino-6-(2-indanylamino)-[1,3,5]triazin-2-ylamino]methyl]-N-(2-aminophenyl)benzamide) and Cy3-X1-Ar2-(C(R5):C(R6))qC(O)NH-Ay2 (II; variables defined below; e.g.), many of which are N-(o-aminophenyl)carboxamides, as inhibitors of histone deacetylase (data included for many I and II). The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I and II are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. For I: R3 and R4 = H, L1, Cy1 and -L1-Cy1 (L1 = C1-C6 alkyl, C2-C6 heteroalkyl, or C3-C6 alkenyl; Cy1 = cycloalkyl, aryl, heteroaryl, or heterocyclyl) or R3 and R4 are taken together with the adjacent N atom to form a 5-, 6-, or 7-membered ring, wherein the ring atoms = C, O, S, and N, and wherein the ring is optionally substituted, and optionally forms part of a bicyclic ring system, or is optionally fused to one or two aryl or heteroaryl rings, or to one or two satd. or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings and ring systems is optionally substituted. Y1 = -N(R1)(R2), -CH2-C(O)-N(R1)(R2), halogen, and H (R1 and R2 = H, L1, Cy1, and -L1-Cy1).

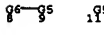
Y2 = chem. bond or N(R0) (R0 = H, alkyl, aryl, aralkyl, and acyl); Ak1 = C1-C6 alkylene, C1-C6-heteroalkylene (preferably, in which one -CH2- is replaced with -NH-, and more preferably -NH-CH2), C2-C6 alkenylene or C2-C6 alkynylene; Ar1 = arylene or heteroarylene, either of which is optionally substituted; and Z1 = C(O)NH-Ay1 and CH:CHC(O)NH-Ay1 (Ay1 = aryl or heteroaryl, each of which is optionally substituted). For II: Cy2 = cycloalkyl, aryl, heteroaryl, or heterocyclyl; X1 = covalent bond, M1-L2-M1, and L2-M2-L2 (L2 = chem. bond, C1-C4 alkylene, C2-C4 alkenylene, and C2-C4 alkynylene, provided that L2 is not a chem. bond when X1 is M1-L2-M1; M1 = -O-, -N(R7)-, -S-, -S(O)-, S(O)2-, -S(O)2N(R7)-, -N(R7)S(O)2-, -C(O)-, -C(O)NH-, -NHC(O)-, -NHC(O)-O- and -OC(O)NH- (R7 = H, alkyl, aryl, aralkyl, acyl, heterocyclyl, and heteroaryl); and M2 = M1, heteroarylene, and heterocyclylene, either of which rings is optionally substituted). Ar2 = arylene or heteroarylene, each of which is optionally substituted; R5 and R6 = H, alkyl, aryl, and aralkyl; q is 0 or 1; and Ay2 is a 5-6 membered cycloalkyl, heterocyclyl, or heteroaryl substituted with an amino or hydroxy moiety (preferably these groups are ortho to the amide N to which Ay2 is attached) and further optionally substituted; provided that when Cy2 is naphthyl, X1 is -CH2-, Ar2 is Ph, R5 and R6 are H, and q is 0 or 1, Ay2 is not Ph or o-hydroxyphenyl. Although the methods of prepn. are not claimed, hundreds of example prepns. are included.

L10 ANSWER 40 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 3A



G1 = quinolinyl (opt. substd.)
G4 = 8-1 9-3 / 11-1 10-3



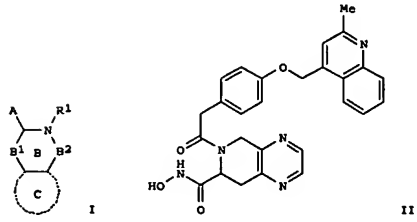
L10 ANSWER 40 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G5 = NH (opt. substd.)
G6 = C(O)
G7 = 49-2 52-4

G8 = bond
G12 = N / CH (opt. substd.)
Patent location: claim 54
Note: substitution is restricted
Note: or pharmaceutically acceptable salts

L10 ANSWER 41 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:205082 MARPAT
TITLE: Preparation of bicyclic hydroxamates as inhibitors of matrix metalloproteinases and/or TNF-α converting enzyme (TACE) for treating inflammatory disorders
INVENTOR(S): Sheppeck, James; Duan, Jingwu
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company Patent Department, USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016248	A2	20030227	WO 2002-US26018	20020815
WO 2003016248	A3	20031023		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003130257	A1	20030710	US 2002-219426	20020815
US 6770647	B2	20040803		
PRIORITY APPLN. INFO.:			US 2001-313052P	20010817

GI

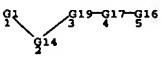


I II

AB The title compds. [I; A = CONHOH, CONHOR5, CONHOR6, N(OH)COR5, N(OH)CHO,

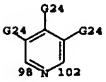
L10 ANSWER 41 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
CH2SH; ring B, including B1 and B2, = (un)substituted 5-7 membered heterocyclic ring; B1, B2 consist of 0-3 carbon atoms and 0-1 heteroatoms selected from O, N, and SOp and are substituted with 0-1 carbonyl groups; ring C = (un)substituted 5-10 membered arom. ring consisting of 1-9 carbon atoms and 0-4 heteroatoms selected from O, N, and SOp; R1 = {4-[(2-methyl-4-quinolinyl)methoxy]phenyl}acetyl, {4-[(2-methyl-4-quinolinyl)methoxy]phenyl}sulfonyl, etc.; R5 = (un)substituted alkyl; R6 = Ph, naphthyl, cycloalkyl, etc.], useful as inhibitors of matrix metalloproteinases (MMP), TNF-α converting enzyme (TACE), aggrecanase, or a combination thereof, were prepd. and formulated. E.g., a 5-step synthesis of II as bis-TFA salt, starting from 2,3-dimethylpyrazine, was given. A no. of compds. I were found to exhibit Ki's of ≤10 μM in MMP assays.

MSTR 1



G16 = 73

G17 = 98-3 102-5



G28 = quinolinyl (opt. substd.)
G29 = 176-4 177-74

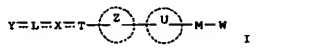
G32 = C(O)
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Note: additional oxo substitution and ring formation also claimed
Stereochemistry: or stereoisomers

L10 ANSWER 41 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

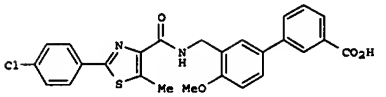
L10 ANSWER 42 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:39276 MARPAT
TITLE: Preparation of heterocyclecarboxylic acid, benzoic acid, and phenylalkanoic acid derivatives as agonists of peroxisome proliferator-activated receptors (PPAR)
INVENTOR(S): Matsuura, Fumiyoshi; Emori, Eita; Shinoda, Masanobu; Clark, Richard; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Inoue, Takashi; Miyashita, Sadakazu; Hihara, Taro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098840	A1	20021212	WO 2002-JP5511	20020604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1394147	A1	20040303	EP 2002-733294	20020604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004214888	A1	20041028	US 2003-479427	20031203
PRIORITY APPLN. INFO.:			JP 2001-168356	20010604
			WO 2002-JP5511	20020604

GI



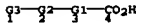
I



II

L10 ANSWER 42 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB Novel carboxylic acid derivs. represented by the following general formula
(I) [wherein L, M = a single bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; T = a single bond, each (un)substituted C1-3 alkylene, C2-3 alkenylene, or C2-3 alkynylene; W = CO2H; each solid line accompanied by a dotted line represents a single or double bond; X = a single bond, O, each N-(un)substituted NHCO-O, NHC(S)-O, O-CONH, O-C(S)NH, CONHO, C(S)NHO, ONHCO, ONHC(S), NHCO, NHC(S), CONH, C(S)NH, NHCONH, NHC(S)NH, NHSO2, or SO2NH, OSO2, SO2O, etc.; Y = 5 to 14-membered aromatic group or C3-7 alicyclic hydrocarbon group each optionally having ≥1 substituents or ≥1 heteroatoms; the ring Z or U = 5 to 14-membered aromatic group optionally having 1-4 substituents or ≥1 heteroatoms wherein a part of the ring is optionally saturated], salts or esters thereof, or hydrates thereof are prepared
These compds. are dual agonists of PPAR α and γ or triple agonists of PPAR α, β(δ), and γ and useful as insulin resistance ameliorants, preventives and/or remedies for diabetes, fragile X syndrome, diabetes complications, hyperlipidemia, obesity, digestive tract diseases, and cancer. The digestive tract (gastrointestinal) diseases include (1) gastrointestinal inflammations such as ulcerative colitis, Crohn's disease, pancreatitis, and gastritis, (2) gastrointestinal proliferative diseases such as gastrointestinal benign tumor, polyp, hereditary polyposis, colon cancer, rectal cancer, and stomach cancer, and (3) gastrointestinal ulcer. They are also preventives and/or remedies for angina pectoris and myocardial infarction and sequelae thereof, senile dementia, and cerebral vascular dementia based on the improvement effects on energy metabolism These compds. are also useful as hypolipidemics, anti-osteoporosis agents, antiinflammatory agents, and immunomodulators. For example, 3-[4-methoxy-3-[[[[4-methyl-2-(4-chlorophenyl)-1,3-thiazol-5-yl]carbonyl]amino]methyl]phenyl]benzoic acid (II) showed EC50 of <0.0001, 0.176, and 0.711 for the transcription activity of human PPAR in host CV-1 cells transfected with GAL4-PPAR LBD chimera expression vector.

MSTR 1



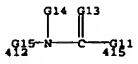
G1 = bond
G3 = 49

G4 = quinolinyl
G5 = 51-2 52-50

L10 ANSWER 42 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G6 = 105-2 103-52

G10 = 412-51 415-50



G11 = bond
G13 = O
G15 = bond
Patent location: claim 1
Note: and salts, esters or hydrates
Note: substitution is restricted
Note: additional substitution also disclosed
Note: interruptions of Ak in G32 also claimed

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 43 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:239851 MARPAT
TITLE: Electrophoretic displays using improved dispersants
INVENTOR(S): Obikawa, Takeshi; Katase, Makoto; Kinoshita, Satoshi; Uehara, Masamitsu
PATENT ASSIGNEE(S): Seiko Epson Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002268097	A2	20020918	JP 2001-70371	20010313
US 2002175891	A1	20021128	US 2002-97361	20020312
US 6650463	B2	20031118		
PRIORITY APPLN. INFO.:			JP 2001-70371	20010313
			JP 2001-70372	20010313

AB The displays use organic compds. having ≥2 rings in structures in dispersants for electrophoretic particles. The displays have improved reliability and response speed.

MSTR 1

G1 = quinolinyl
G5 = 2

G6 = NH
G9 = 10-1 11-3

G10 = pyridyl
Patent location: claim 1

L10 ANSWER 44 OF 72 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 137:217241 MARPAT
TITLE: Preparation of phenylalanine enamide derivatives possessing a cyclobutene group for use as integrin inhibitors
INVENTOR(S): Bailey, Stuart; Brown, Julien Alistair; Brand, Stephen; Johnson, James Andrew; Porter, John Robert; Head, John Clifford
PATENT ASSIGNEE(S): Celltech R & D Limited, UK
SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068393	A1	20020906	WO 2002-GB206	20020118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2434666	AA	20020906	CA 2002-2434666	20020118
GB 2387845	A1	20031029	GB 2003-18429	20020118
GB 2387845	B2	20050511		
EP 1370531	A1	20031217	EP 2002-715515	20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007166	A	20040210	BR 2002-7166	20020118
JP 2004524313	T2	20040812	JP 2002-567907	20020118
NZ 528134	A	20050930	NZ 2002-528134	20020118
US 2002169336	A1	20021114	US 2002-81072	20020222
US 6878718	B2	20050412		
ZA 2003005372	A	20040712	ZA 2003-5372	20030711
BG 107991	A	20041230	BG 2003-107991	20030714
NO 2003003710	A	20031022	NO 2003-3710	20030820
US 2005038084	A1	20050217	US 2004-947032	20040922

PRIORITY APPLN. INFO.:
GB 2001-4418 20010222
GB 2001-14000 20010608
GB 2001-27562 20011116
WO 2002-GB206 20020118
US 2002-81072 20020222

GI

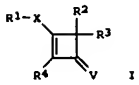
L10 ANSWER 44 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

Patent location: claim 1
Note: heteroatom interruptions in G9 and G14 aliphatic chains also claimed
Note: and salts, solvates, hydrates, and N-oxides

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

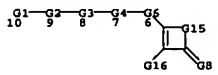
L10 ANSWER 44 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I

AB Phenylalanine enamide derivs. I [R1 is a group Ar1-L2-Ar2-Alk- in which Ar1 is an optionally substituted (hetero)aromatic group, L2 is a covalent bond or a linker atom or group, Ar2 is an optionally substituted (hetero)arylene group, and Alk is CH2CHCO2H, CH:CCO2H, or CHCH2CO2H or a derivative or biostere; X = O, S, NH or alkylimino; V = O or S; R2, R3, R4 = L1-(Alk1)n(R5)v, in which L1 is a covalent bond or a linker atom or group, Alk1 is an optionally substituted (hetero)aliphatic chain, R5 = H, halo, OH, SH, CN, (un)substituted (cyclo)alkoxy, (cyclo)alkylthio, (hetero)(poly)cycloaliph. or (hetero)aromatic group; n = 0 or 1, and v = 1-3] were prepared Compds. I inhibit the binding of integrins to their ligands and are of use in the prophylaxis and treatment of immuno or inflammatory disorders or disorders involving the inappropriate growth or migration of cells. Thus, (2S)-2-[(3-oxospiro[3.5]non-1-en-1-yl)amino]-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propanoic acid (claimed compound) was prepared by reaction of Et (2S)-2-amino-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propanoate (preparation given) with 1-keto-3-hydroxyspiro[3.5]non-2-ene, followed by hydrolysis.

MSTR 1



G1 = quinolinyl (opt. substd.)
G2 = 135-10 136-8

G3 = 41-9 44-7

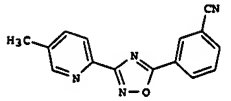
L10 ANSWER 45 OF 72 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 137:201315 MARPAT
TITLE: Heteropolycyclic compounds, particularly pyridyl- and phenyl-substituted 1,2,4-oxadiazoles and analogs, and their use as metabotropic glutamate receptor antagonists for inhibiting neuronal damage
INVENTOR(S): Slassi, Abdelmalik; Van Wagenen, Bradford; Stormann, Thomas M.; Moe, Scott T.; Sheehan, Susan M.; McLeod, Donald A.; Smith, Daryl L.; Isaac, Methvin Benjamin
PATENT ASSIGNEE(S): Can.
SOURCE: PCT Int. Appl., 272 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068417	A2	20020906	WO 2002-US4689	20020219
WO 2002068417	A3	20021114		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2438991	AA	20020906	CA 2002-2438991	20020219
EP 1379525	A2	20040114	EP 2002-707093	20020219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007390	A	20041013	BR 2002-7390	20020219
JP 2004536037	T2	20041202	JP 2002-567930	20020219
CN 1649865	A	20050803	CN 2002-808416	20020219
NO 2003003711	A	20031017	NO 2003-3711	20030820
ZA 2003006493	A	20041122	ZA 2003-6493	20030820

PRIORITY APPLN. INFO.:
US 2001-269847P 20010221
WO 2002-US4689 20020219

GI



I

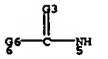
AB The invention provides compds. and pharmaceutical compns. that act as antagonists at metabotropic glutamate receptors, and that are useful for treating neurol. diseases and disorders. Methods of preparing the compds.

L10 ANSWER 45 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
also are disclosed. The compds. exhibit a high degree of potency and selectivity for individual metabotropic glutamate receptor subtypes, notably mGluR5. In particular, medical conditions assocd. with metabotropic glutamate receptors and therefore targeted by the invention compds. include stroke, head trauma, anoxic injury, ischemic injury, hypoglycemia, epilepsy, pain, migraine headaches, Parkinson's disease, senile dementia, Huntington's Chorea, and Alzheimer's disease. The invention provides methods of treating diseases assocd. with excitatory activation of an mGluR Group I receptor, and of inhibiting neuronal damage caused by excitatory activation of an mGluR Group I receptor, specifically wherein the mGluR Group I receptor is mGluR5. In one aspect of the invention, the antagonists may be represented by the general formula Ar1-L-Ar2, wherein Ar1 is an optionally substituted heteroarom. moiety, and Ar2 is an optionally substituted benzene ring. The L moiety is a group that not only covalently binds to the Ar1 and Ar2 moieties, and which facilitates adoption of the correct spatial orientation of Ar1 and Ar2, but also itself may interact with the protein, to effect receptor binding. In one embodiment of the invention, L is selected from the group consisting of -NH-, -S-, -O-, -CO-, -CONH-, -CONHCH2-, -CH2CONH-, -CNHNH-, -CNHNHCH2-, -C=NOCH2-, -CH2NHCH2-, -CH2CH2NH-, -NHCH2CO-, -NHCH2CHOH-, -NHCNHNH-, -NHCONH-, cyclopentane, cyclopentadiene, furan, thiofuran, pyrrolidine, pyrrole, 2-imidazoline, 3-imidazoline, 4-imidazoline, imidazole, pyrazoline, pyrazolidine, imidazolidine, oxazole, 2-oxazole, thiazole, isoxazole, isothiazole, 1H-1,2,4-triazole, 1H-1,2,3-triazole, 1,2,4-oxathiazole, 1,3,4-oxathiazole, 1,4,2-dioxazole, 1,4,2-oxathiazole, 1,2,4-oxadiazole, 1,2,4-thiadiazole, 1,2,5-oxadiazole, 1,2,5-thiadiazole, 1,3,4-oxadiazole, 1,3,4-thiadiazole, 1H-tetrazole, cyclohexane, piperidine, tetrahydropyridine, 1,4-dihydropyridine, pyridine, benzene, tetrahydropyran, 3,4-dihydro-2H-pyran, 2H-pyran, 4H-pyran, tetrahydrothiopyran, 3,4-dihydro-2H-thiopyran, 2H-thiin, 4H-thiopyran, morpholine, thiomorpholine, piperazine, pyridazine, pyrimidine, pyrazine, 1,2,4-triazine, 1,2,3-triazine, 1,3,5-triazine, and 1,2,4,5-tetrazine. In another embodiment of the invention, Ar1 is selected from the group consisting of Ph, benzyl, naphthyl, fluorenyl, anthrenyl, indenyl, phenanthrenyl, and benzonaphthenyl, and Ar2 is selected from the group consisting of thiazoyl, furyl, pyranyl, 2H-pyrrolyl, thienyl, pyrroyl, imidazoyl, pyrazoyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, benzothiazole, benzimidazole, 3H-indolyl, indolyl, indazoyl, purinyl, quinolizinyl, isoquinolyl, quinolyl, phthalizinyl, naphthyridinyl, quinazolinyl, cinnolinyl, isothiazolyl, quinoxalinyl, indolizinyl, isoindolyl, benzothienyl, benzofuranyl, isobenzofuranyl, and chromenyl. Several hundred specific examples are individually prepd. and/or claimed. A variety of intermediates were also prepd. For instance, 5-methylpyrid-2-ylamidoxime was prepd. from 2-bromo-5-methylpyridine by Zn- and Pd-complex-mediated cyanation (56%) and reaction of the resulting nitrile with NH2OH.HCl (60%). Cyclization of the amidoxime with 3-cyanobenzoyl chloride (86%) gave invention compd. I. In a bioassay for mGluR5 antagoniam in primary astrocyte cultures from rats, the invention compds. had IC50 values in th range of 11 to 9140 nM.

L10 ANSWER 45 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

NSTR 1

G1 = quinolinyl (opt. substd.)
G2 = 6-1 5-3



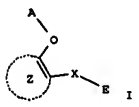
G3 = O
G6 = bond
G8 = pyridyl (opt. substd. by 1 or more G27)
Patent location: disclosure
Note: substitution is restricted

L10 ANSWER 46 OF 72 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 137:63257 MARPAT
TITLE: Preparation of benzamides as inhibitors of production and release of inflammatory cytokines
INVENTOR(S): Muto, Susumu; Nagano, Tatauo; Saotome, Tomomi; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design Inc., Japan
SOURCE: PCT Int. Appl., 313 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049632	A1	20020627	WO 2001-JP11084	20011218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431083	AA	20020627	CA 2001-2431083	20011218
AU 2002022683	A5	20020701	AU 2002-22683	20011218
EP 1352650	A1	20031015	EP 2001-271124	20011218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004259877	A1	20041223	US 2004-433619	20040219
PRIORITY APPLN. INFO.:			JP 2000-383202	20001218
			WO 2001-JP11084	20011218

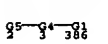
GI



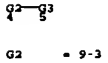
AB The title compds. I (wherein X is a connecting group; A is hydrogen or acetyl; E is aryl or heteroaryl; and Z is arene or heteroarene) are prepared In an in vitro test using cells, 5-chloro-2-hydroxy-N-(4-methoxynaphthalen-2-yl)benzamide at 1 μg/mL gave 95.1% inhibition of NF-κB activation.

NSTR 1

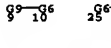
L10 ANSWER 46 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



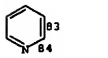
G1 = 4



G2 = 9-3 10-5 / 25-3 24-5 / 26-3 27-5



G3 = quinolinyl
G4 = 83-2 84-386



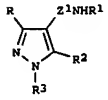
G6 = NH (opt. substd.)
G9 = C(O)
Patent location: claim 1
Note: and pharmacologically acceptable salts, hydrates or solvates

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 47 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:33311 MARPAT
TITLE: Preparation of pyrazolylpyridine- and -pyrimidineamines as JNK inhibitors
INVENTOR(S): Ledeboer, Mark; Salituro, Francesco; Moon, Young-Choon
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046184	A1	20020613	WO 2001-US46383	20011205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2430539	AA	20020613	CA 2001-2430539	20011205
AU 2002028783	A5	20020618	AU 2002-28783	20011205
US 2002111353	A1	20020815	US 2001-5133	20011205
EP 1343781	A1	20030917	EP 2001-989898	20011205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004518644	T2	20040624	JP 2002-547922	20011205
PRIORITY APPLN. INFO.:			US 2000-251409P	20001205
			WO 2001-US46383	20011205

GI

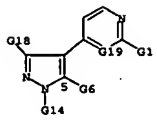


I

AB Title compds. (I; R = H or alkyl; R1 = cycloalkyl, Ph, pyridyl, etc.; R2 = H, alkoxymethyl, heterocyclylmethyl, etc.; R3 = Ph, CH2Ph, etc.; Z1 = pyridine- or pyrimidine-4,2-diyl) were prepared Thus, R4Z1CH(CHO)2 (R4 = MeS, Z1 = pyrimidine-2,4-diyl) was cyclocondensed with H2NNHC6H3F2-2,4 and the S-oxidized product aminated by cyclohexylamine to give I (R = R2 = H, R1 = cyclohexyl, R3 = C6H3F2-2,4). Data for biol. activity of I were

L10 ANSWER 47 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
given.

MSTR 1



G1 = 9

G2 = 11

G3 = quinolinyl
G4 = C(O)
G19 = CH
G20 = NH
Patent location: claim 1
Note: or pharmaceutically acceptable derivatives
Note: substitution is restricted

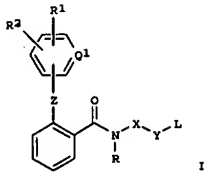
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 48 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:294739 MARPAT
TITLE: Preparation of pyridinyl-substituted benzamides as Apo B secretion inhibitors
INVENTOR(S): Takasugi, Hisashi; Terasawa, Takeshi; Inoue, Yoshikazu; Nakamura, Hideko; Nagayoshi, Akira; Ohtake, Hiroaki; Furukawa, Yoshiro; Mikami, Masafumi; Hinoue, Kazumasa; Ohtsubo, Makoto
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Daiso Co., Ltd.
SOURCE: PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

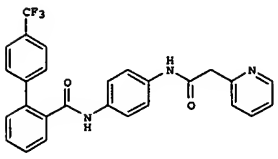
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028835	A1	20020411	WO 2001-JP8581	20010928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2425097	AA	20020411	CA 2001-2425097	20010928
AU 2001092315	A5	20020415	AU 2001-92315	20010928
EP 1326835	A1	20030716	EP 2001-972612	20010928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001014657	A	20030930	BR 2001-14657	20010928
JP 2004510763	T2	20040408	JP 2002-532421	20010928
NZ 525591	A	20040430	NZ 2001-525591	20010928
NO 2003001540	A	20030605	NO 2003-1540	20030404
ZA 2003003371	A	20040730	ZA 2003-3371	20030430
US 2004058903	A1	20040325	US 2003-381737	20030903
PRIORITY APPLN. INFO.:			AU 2000-583	20001005
			AU 2001-6666	20010727
			WO 2001-JP8581	20010928

GI

L10 ANSWER 48 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I

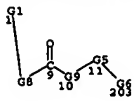


II

AB Title compds. I [wherein R1 and R2 = independently alkyl, alkenyl, acyl, amino, (cyclo)alkoxy, aryl(oxy), sulfoxy, mercapto, sulfo, H, halo, NO2, CN, or OH; or R1R2 = a ring; Q1 = N or CH; L = (un)substituted unsatd. 3 to 10-membered heterocyclic group; X = (un)substituted monocyclic (hetero)arylene; Y = (A1)m(A2)n(A4)k; Z = direct bond, CH2, NH, or O; R = H or alkyl; A1 = (un)substituted alkylene or alkenylene; A2 = NR3, CONR3, NHCONH, CO2, O, O(CH2)2NR3, S, SO, or SO2; A4 = alkylene, alkenylene, or alkynylene; R3 = H or suitable substituent; k, m, and n = independently 0 or 1; or a salt thereof] were prepared as apolipoprotein B (Apo B) secretion inhibitors. For example, to a suspension of N-(4-aminophenyl)-4'-(trifluoromethyl)-1,1'-biphenyl-2-carboxamide, 2-pyridinylacetic acid•HCl, and HOBT•H2O in CH2Cl2 was added to WSC•HCl, followed by TEA at 5°C. The mixture was stirred at room temperature for 24 h and worked up to give II. The latter inhibited Apo B secretion by 100% at 10-6 M in HepG2 cells and lowered cholesterol by 83% and triglyceride by 35% after 2 h at a dose of 32 mg/kg in ddY-mice. I are useful for the prophylaxis and treatment of diseases or conditions resulting from elevated circulating levels of Apo B, such as hyperlipemia, hyperlipidemia, hyperlipoproteinemia, hypoalphalipoproteinemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, pancreatitis, non-insulin dependent diabetes mellitus, obesity, coronary heart diseases, myocardial infarction, stroke, restenosis, and Syndrome X.

MSTR 1

L10 ANSWER 48 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G4 = quinolinyl
G5 = 68-10 64-203

G6 = 12

G13 = NH
G22 = 117-11 118-13

Patent location: claim 1
Note: or salts

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

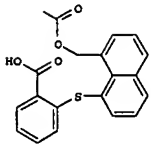
L10 ANSWER 49 OF 72 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 136:161355 MARPAT
TITLE: Compounds which modulate the tyrosine kinase activity of p56lck for immunomodulatory compounds
INVENTOR(S): Hayashi, Jun; Mackerell, Alexander D.
PATENT ASSIGNEE(S): University of Maryland, Baltimore, USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

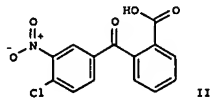
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010191	A2	20020207	WO 2001-US41467	20010731
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2415189	AA	20020207	CA 2001-2415189	20010731
AU 2001094996	A5	20020213	AU 2001-94996	20010731
EP 1305019	A2	20030502	EP 2001-975702	20010731
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004505094	T2	20040219	JP 2002-515920	20010731
US 2004044034	A1	20040304	US 2003-333605	20030122
PRIORITY APPLN. INFO.:			US 2000-221687P	20000731
			WO 2001-US41467	20010731

GI

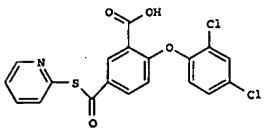
L10 ANSWER 49 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



II



III

AB Compds. are described which modulate the tyrosine kinase activity of p56lck and signal transduction pathways in which this enzyme is involved. The invention also relates to compds. which have immunomodulatory activity, e.g., which have immunosuppressant or immunostimulatory activity, and/or which have an antineoplastic effect. The invention further relates to compns. comprising these compds., and methods of using them. Compds. are described which modulate the tyrosine kinase activity of p56. Compds. of the invention include I, II, and III.

MSTR 1

G1 = 31-52 32-53

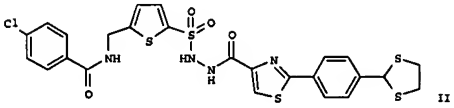
G8 = pyridyl (opt. substd.)
G9 = NH
G11 = quinolinyl (opt. substd.)
Patent location: claim 1
Note: also incorporates broader disclosure
Note: or pharmaceutically acceptable salts

L10 ANSWER 49 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Note: additional nitrogen replacements in the ring also claimed

L10 ANSWER 50 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:151160 MARPAT
TITLE: Preparation of N-thienylsulfonylthiazolecarbohydrazide s and analogs as c-Jun N-terminal kinase inhibitors
INVENTOR(S): Arkinstall, Stephen; Halazy, Serge; Church, Dennis; Camps, Montserrat; Rueckle, Thomas; Gotteland, Jean-Pierre; Biamonte, Marco
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023382	A1	20010405	WO 2000-IB1381	20000928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1088822	A1	20010404	EP 1999-810870	19990928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2385001	AA	20010405	CA 2000-2385001	20000928
EP 1216245	A1	20020626	EP 2000-962745	20000928
EP 1216245	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003510323	T2	20030318	JP 2001-526534	20000928
AT 267826	E	20040615	AT 2000-962745	20000928
AU 777293	B2	20041007	AU 2000-74386	20000928
PRIORITY APPLN. INFO.:			EP 1999-810870	19990928
			WO 2000-IB1381	20000928

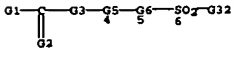
GI



II

AB RC(:X1)NR1(CH2)nZSO2NR2NR3C(:X2)R4 [I; R = (un)substituted (hetero)aryl; R1, R2, and R3 = H or alkyl; or RR1 and/or R2R3 = atoms to complete a ring; R4 = (un)substituted alkyl or heterocyclyl; X1 and X2 = O or S; Z = (un)substituted (hetero)arylene; n = 0-5] were prepared as c-Jun N-terminal kinase (JNK) inhibitors, especially JNK2 or JNK3 inhibitors. Thus, 2-thiophenemethanamine was amidated by 4-ClC6H4COCl (98%) and the chlorosulfonated product (63%) amidated by 2-[4-(1,3-dithiolan-2-yl)phenyl]thiazole-4-carbohydrazide to give title compound II (80%). The latter exhibited selective inhibitory effect for JNK2 and JNK3 compared with p38 kinase and ERK2 protein kinase with IC50 values of 0.21 μM, 0.37 μM, >30 μM, and >30 μM, resp. Thus, I are useful for the treatment of neuronal disorders, autoimmune diseases, cancer, and cardiovascular disease.

MSTR 1



G1 = quinolinyl
G2 = O
G3 = NH
G5 = (0-5) CH2
G6 = 104-4 105-6

Patent location: claim 1
Note: and pharmaceutically acceptable salts
Note: substitution is restricted
Note: additional substitution and ring formation also claimed
Note: also incorporates claim 18, formula V
Stereochemistry: geometrical isomers, enantiomers, diastereomers, or racemates

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 51 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:272894 MARPAT
TITLE: Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 483 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

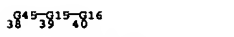
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070734	A2	20010927	WO 2001-US8336	20010315
WO 2001070734	A3	20020314		
W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2400168	AA	20010927	CA 2001-2400168	20010315
AU 2001050850	A5	20011003	AU 2001-50850	20010315
EP 1263756	A2	20021211	EP 2001-924171	20010315
EP 1263756	B1	20040225		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
BR 2001009469	A	20030429	BR 2001-9469	20010315
JP 2003528097	T2	20030924	JP 2001-568935	20010315
AT 260272	E	20040315	AT 2001-924171	20010315
NZ 521245	A	20040430	NZ 2001-521245	20010315
ES 2215893	T3	20041016	ES 2001-1924171	20010315
US 2002013341	A1	20020131	US 2001-811116	20010316
US 6495565	B2	20021217		
HK 1049334	A1	20040716	HK 2003-101437	20030226
PRIORITY APPLN. INFO.:			US 2000-190183P	20000317
			US 2000-235467P	20000926
			US 2000-252062P	20001120
			WO 2001-US8336	20010315

AB Novel β-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 (Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me

L10 ANSWER 51 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

MSTR 1

G11 = quinolinyl (opt. substd.)
G14 = 38-2 40-31



G15 = 90-38 94-40

G16 = 206-39 207-31

G18 = 49

Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Note: also incorporates claim 6
Stereochemistry: or stereoisomers

L10 ANSWER 52 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:257169 MARPAT
TITLE: Preparation of cyclic β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
INVENTOR(S): Duan, Jingwu; Ott, Gregory; Chen, Linhua; Lu, Zhonghui; Maduskuie, Thomas P., Jr.; Voss, Matthew E.; Xue, Chu-Biao
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 298 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

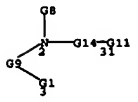
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070673	A2	20010927	WO 2001-US8334	20010315
WO 2001070673	A3	20020314		
W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, HU, IN, JP, KR, LT, LU, LV, MX, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2401870	AA	20010927	CA 2001-2401870	20010315
EP 1263755	A2	20021211	EP 2001-924170	20010315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
BR 2001009467	A	20030603	BR 2001-9467	20010315
JP 2003528072	T2	20030924	JP 2001-568885	20010315
EE 200200529	A	20040216	EE 2002-529	20010315
NZ 521248	A	20040430	NZ 2001-521248	20010315
US 2002016336	A1	20020207	US 2001-811233	20010316
US 6743807	B2	20040601		
US 2004162426	A1	20040819	US 2004-779539	20040213
US 6984648	B2	20060110		

PRIORITY APPLN. INFO.: US 2000-190182P 20000317
US 2000-233373P 20000918
US 2000-255539P 20001214
WO 2001-US8334 20010315
US 2001-811233 20010316

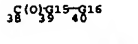
AB Novel cyclic β-amino acid derivs. A-CRR2aCRR2bNR1CO-Z-Ua-Xa-Ya-Za [A = CO2H, CH2CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl, Ph, benzyl), P(O)(OH)2, etc.; CRCR is a substituted 3-13 membered nonarom. carbocyclic or heterocyclic ring; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 (Ra1 = H, alkyl), CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Xa is absent or C1-10 alkylene, C2-10 alkenylene or alkynylene; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, C1-4 alkyl, Ph, benzyl; R2a is H, C1-6 alkyl, ORa, NRaRa1 or S(O)pRa; R2b is H, C1-6 alkyl (with provisos)] or pharmaceutically acceptable salts were prepared as metalloprotease and TNF-α inhibitors. Thus, (3S,4S)-N-hydroxy-1-isopropyl-4-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-3-pyrrolidinecarboxamide was prepared by a

L10 ANSWER 52 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
multistep procedure starting with condensation of benzyl Me maleate, glycine, and paraformaldehyde to form 3,4-pyrroledicarboxylate diester and involving amidation of 4-[(2-methyl-4-quinolinyl)methoxy]benzoic acid.

MSTR 1



G11 = quinolinyl (opt. substd.)
G14 = 38-2 40-31



G15 = 90-38 94-40

G16 = 206-39 207-31

G18 = 49

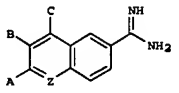
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Stereochemistry: or stereoisomers

L10 ANSWER 53 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:92449 MARPAT
TITLE: Preparation of naphthalenecarboximidamides as urokinase inhibitors
INVENTOR(S): Geyer, Andrew G.; Mcclellan, William J.; Rockway, Todd W.; Stewart, Kent D.; Weitzberg, Moshe; Wendt, Michael D.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 75 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

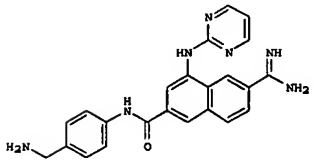
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6258822	B1	20010710	US 1998-129989	19980806
US 6284796	B1	20010904	US 1999-236254	19990125
US 6504031	B1	20030107	US 2000-557792	20000425
US 2001049374	A1	20011206	US 2001-850826	20010508

PRIORITY APPLN. INFO.: US 1997-54982P 19970806
US 1997-901040 19970725
US 1998-129989 19980806
US 1999-236254 19990125

GI



I

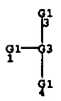


II

AB The title compds. [I; Z = N, CH, C(NR1R2); A, B, C = H, LR; L = a covalent bond, (CH2)m, NR1, NR2C(X)NR3, C(X), NR2C(X), C(X)NR2, CH:CH, C.tplbond.C, O, SOn, SO2NR2, NR2SO2, N:N, NR2CO2, OCONR2, etc.; R = aryl, arylalkoxy, (cyclo)alkyl, (cyclo)alkenyl, alkoxycarbonyl, alkynyl, halo, NR1R2, heterocyclyl, NR1CONR2NR3, etc.; R1 = H, N-protecting group, (ar)alkyl, alkenyl, alkynyl, aryl, or cycloalkyl(alkyl); R2 = H, C1-6 alkyl, C2-6 alkenyl, etc.; R3 = independently H, (ar)alkyl, alkenyl, alkynyl, aryl, or cycloalkyl(alkyl); X = O or S; m = 0-5; n = 0-2; or pharmaceutically acceptable salts thereof] were prepd. as urokinase inhibitors. For example, nitration of 6-cyano-2-naphthalenecarboxylic acid Me ester (71%), redn. of the nitro group (93%), substitution of the amine with 2-bromopyrimidine (93%), hydrolysis of the ester (90%), conversion of the carbonitrile to the Boc-protected carboxamide with tert-butoxycarbonylamino-4-aminomethylaniline over 3 steps, deprotection and workup afforded II•3TFA. In a urokinase inhibition assay, II•3TFA gave the best result with IC50 of 0.00068 μM.

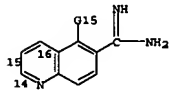
L10 ANSWER 53 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1



G1 = 278

G2 = 2-pyridyl
G3 = 14-4 15-1 16-3



Patent location: claim 1
Note: substitution is restricted
Note: additional substitution also claimed
Note: also incorporates broader disclosure
Note: or pharmaceutically acceptable salts, esters, or prodrugs

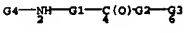
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 54 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:361394 MARPAT
TITLE: Pyrrolecarbonylimino derivatives as NAALADase inhibitors
INVENTOR(S): Jackson, Paul F.; Slusher, Barbara S.
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034596	A2	20010517	WO 2000-US30977	20001113
WO 2001034596	A3	20020307		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6348464	B1	20020219	US 1999-438970	19991112
PRIORITY APPLN. INFO.:			US 1999-438970	19991112

AB Pharmaceutical compns. and methods are provided for using pyrrolecarbonylimino derivs. to inhibit N-acetylated α-linked acidic dipeptidase (NAALADase) enzyme activity, thereby effecting neuronal activities, inhibiting angiogenesis, and treating glutamate abnormalities, compulsive disorders, prostate diseases and cancers.

MSTR 1



G1 = (0-3) 7-2 9-4

G2 = (0-3) 10-4 12-6

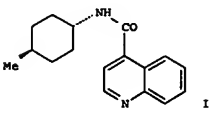
L10 ANSWER 54 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G3 = quinolinyl
G4 = pyridyl
Patent location: claim 1

L10 ANSWER 55 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:29325 MARPAT
TITLE: Preparation of metabotropic glutamate receptor antagonists and their use for treating central nervous system diseases
INVENTOR(S): Van Wagenen, Bradford C.; Moe, Scott T.; Smith, Daryl L.; Sheehan, Susan M.; Shcherbakova, Irina; Travato, Richard; Walton, Ruth; Barmore, Robert; Delmar, Eric G.; Stormann, Thomas M.
PATENT ASSIGNEE(S): NPS Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073283	A1	20001207	WO 2000-US15222	20000602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2376024	AA	20001207	CA 2000-2376024	20000602
EP 1196397	A1	20020417	EP 2000-936465	20000602
EP 1196397	B1	20050817		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003500480	T2	20030107	JP 2000-621349	20000602
NZ 515894	A	20030926	NZ 2000-515894	20000602
AU 778063	B2	20041111	AU 2000-51780	20000602
AT 302194	E	20050915	AT 2000-936465	20000602
EP 1595871	A2	20051116	EP 2005-17791	20000602
EP 1595871	A3	20051130		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRIORITY APPLN. INFO.:			US 1999-137272P	19990602
			EP 2000-936465	20000602
			WO 2000-US15222	20000602

GI

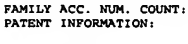


I

L10 ANSWER 55 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. [R1NHCOR; R = quinolinyl, quinoxalinyl, thiazolidinyl, Ph, benzimidazoyl, pyridyl, naphthyridinyl; R1 = phenylpropyl, cyclopentyl, pentyl, cyclohexyl, quinolinyl], stereoisomers, and pharmaceutically acceptable salts are prepared and are active as metabotropic glutamate receptor antagonists (no data). Title compds. are useful for treating neurol. diseases and disorders in pharmaceutical compns. Thus, the title compound I was prepared for treating disease associated with glutamate-induced neuronal damage.

MSTR 1A



G1 = quinolinyl (opt. substd.)
G5 = 2-pyridyl (opt. substd. by 1 or more G6)
G11 = 271-1 270-3

Patent location: claim 1
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 7 · THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

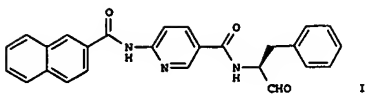
L10 ANSWER 56 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 131:299438 MARPAT
TITLE: New substituted heterocyclic amides, their preparation and application
INVENTOR(S): Lubisch, Wilfried; Moeller, Achim; Treiber, Hans-Joerg; Knopp, Monika
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19817459	A1	19991021	DE 1998-19817459	19980420
CA 2328438	AA	19991028	CA 1999-2328438	19990419
WO 9954304	A1	19991028	WO 1999-EP2611	19990419
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9939271	A1	19991108	AU 1999-39271	19990419
BR 9909772	A	20001219	BR 1999-9772	19990419
EP 1073638	A1	20010207	EP 1999-922102	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
TR 200003056	T2	20010221	TR 2000-2000030561	19990419
JP 2002512228	T2	20020423	JP 2000-544645	19990419
BG 104831	A	20010531	BG 2000-104831	20001010
US 6630493	B1	20031007	US 2000-673087	20001011
NO 2000005264	A	20001019	NO 2000-5264	20001019
HR 2000000786	A1	20010831	HR 2000-786	20001117
ZA 2000006718	A	20011119	ZA 2000-6718	20001117
US 2004097508	A1	20040520	US 2003-601356	20030623

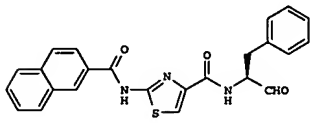
PRIORITY APPLN. INFO.: DE 1998-19817459 19980420
WO 1999-EP2611 19990419
US 2000-673087 20001011

GI

L10 ANSWER 56 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



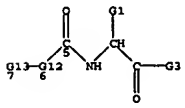
I



II

AB Heterocyclic amides such as I and II were prepared as inhibitors of enzymes,
e.g., calpains and cathepsin B. Thus, II was prepared in 4 steps starting
from Et 2-amino-4-thiazolecarboxylate and 2-naphthoyl chloride.

MSTR 1



G12 = 116-7 115-5

G13 = 337

G14 = quinolinyl
G15 = 399-6 400-338

L10 ANSWER 56 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

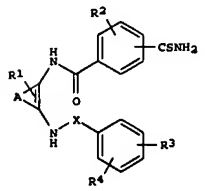
G18 = C(O)
Derivative: and tautomers and physiologically acceptable salts
Patent location: claim 1
Stereochemistry: and isomeric forms as well as enantiomeric and diastereomeric forms

L10 ANSWER 57 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 131:170179 MARPAT
TITLE: Preparation of thiobenzamides for treatment of thromboembolic disorders.
INVENTOR(S): Grams, Frank; Kucznierz, Ralf; Leinert, Herbert; Stegmeier, Karlheinz; Von Der Saal, Wolfgang
PATENT ASSIGNEE(S): Roche Diagnostics G.m.b.H., Germany
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942439	A1	19990826	WO 1999-EP965	19990213
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 937711	A1	19990825	EP 1998-102751	19980218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9926238	A1	19990906	AU 1999-26238	19990213
ZA 9901272	A	19990818	ZA 1999-1272	19990217

PRIORITY APPLN. INFO.: EP 1998-102751 19980218
WO 1999-EP965 19990213

GI

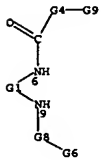


I

AB Title compds. (I; R1-R4 = H, halo, OH, amino, NO2, CO2H, carbamoyl, thiocarbamoyl, alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, etc.; R3R4 = atoms to complete a naphthyl, quinolyl, isoquinolyl, etc., radical; A = atoms to form a phenylene, thienylene, furylene, pyridinylene, pyridazinylene group; X = alkylene, CO, SO2), were

L10 ANSWER 57 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
prepd. Thus, 2-(4-cyanobenzoylamino)aniline (prepn. given), 4-dimethylaminopyridine, and 4-methoxybenzoyl chloride were stirred 16 h in pyridine; Et3N and H2S were added and the mixt. was stirred 6 h to give 95% 2-(4-methoxybenzoylamino)-1-(4-thiocarbamoylbenzoylamino)benzene. The latter inhibited Factor Xa with Ki = 0.050 μM.

MSTR 1



G1 = 45-6 46-9

G6 = quinolinyl
G8 = C(O)
Derivative: or hydrates, solvates, and physiologically compatible salts
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claim 8
Stereochemistry: or optically active forms, racemates, and diastereomer mixtures

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

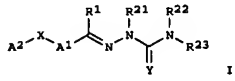
L10 ANSWER 58 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:343416 MARPAT
TITLE: Carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones and their use as sodium channel blockers
INVENTOR(S): Wang, Yan; Cai, Sui Xiong; Lan, Nancy C.; Keana, John F. W.; Ilyin, Victor I.; Weber, Eckard
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9847869	A1	19981029	WO 1998-US8004	19980422
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2287255	AA	19981029	CA 1998-2287255	19980422
AU 9874676	A1	19981113	AU 1998-74676	19980422
AU 738197	B2	20010913		
EP 986540	A1	20000322	EP 1998-922043	19980422
EP 986540	B1	20050216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9809288	A	20010807	BR 1998-9288	19980422
NZ 500590	A	20011130	NZ 1998-500590	19980422
JP 2001526648	T2	20011218	JP 1998-546269	19980422
AT 289295	E	20050315	AT 1998-922043	19980422
EP 1568690	A1	20050831	EP 2004-30775	19980422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 9905094	A	19991220	NO 1999-5094	19991019
MX 9909660	A	20000630	MX 1999-9660	19991021
US 6458843	B1	20021001	US 1999-421403	19991021
US 2002061886	A1	20020523	US 2001-3249	20011206
US 6638947	B2	20031028		
US 2002183321	A1	20021205	US 2002-178477	20020625
US 6696442	B2	20040224		
US 2003225080	A1	20031204	US 2003-463814	20030618

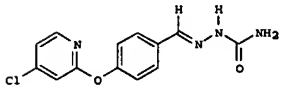
PRIORITY APPLN. INFO.:
US 1997-44530P 19970422
US 1997-62649P 19971022
WO 1998-US8004 19980422
EP 1998-922043 19981029
US 1999-421403 19991021

GI

L10 ANSWER 58 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I

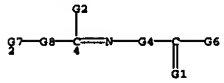


II

AB The invention relates to carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones I and their pharmaceutically acceptable salts or prodrugs [wherein Y = O or S; R1, R21, R22 and R23 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, aryl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, or carboxyalkyl; or NR22R23 forms a heterocycle; A1, A2 = (un)substituted aryl, heteroaryl, saturated or partially unsatd. carbocycle, or saturated or partially unsatd. heterocycle; X = O, S, NR24, CR25R26, CO, NR24CO, CONR24, SO, SO2, or a covalent bond; R24, R25, and R26 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, aryl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, or carboxyalkyl]. The invention is also directed to the use of such compds. for treatment of neuronal damage following global and focal ischemia, for treatment or prevention of neurodegenerative conditions such as amyotrophic lateral sclerosis (ALS), for treatment and prevention of otoneurotoxicity and eye diseases involving glutamate toxicity, for treatment, prevention, or amelioration of pain, as anticonvulsants, as anti-manic-depressants, as local anesthetics, as antiarrhythmics, and for the treatment or prevention of diabetic neuropathy and urinary incontinence. Approx. 180 such compds. were prepared, claimed in use, and/or claimed per se. For instance, 4-PC6H4CHO was etherified with 5-chloro-2-pyridinol using K2CO3 in AcNMe2, and the resultant 4-(4-chloro-2-pyridinyloxy)benzaldehyde in EtOH reacted with semicarbazide-HCl and NaOAc in H2O to give title compound II. Exemplary biol. data for several compds. is given, and includes Na+ channel blocking, analgesic, and anticonvulsant activities. For instance, 4-(4-fluorophenoxy)benzaldehyde semicarbazone inhibited Na+ currents in rat hippocampal neurons (site 2) with IC50 of 22 μM, vs. 29.9 μM for lidocaine and >100 μM for tetrodotoxin, although the reverse order was observed at site 1.

MSTR 1

L10 ANSWER 58 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G4 = NH
G7 = quinolinyl
G8 = 1-2 42-4

G9 = 117-1 120-4

G14 = 23-2 24-42

G18 = CH
Derivative: or pharmaceutically acceptable salts, prodrugs or N-oxides
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 59 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 128:22712 MARPAT
TITLE: Preparation of phenylamines by reduction of amides.
INVENTOR(S): Saito, Kenji; Yonetani, Tokuo; Hayashi, Koji
PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09301933	A2	19971125	JP 1996-144970	19960514

PRIORITY APPLN. INFO.: JP 1996-144970 19960514
OTHER SOURCE(S): CASREACT 128:22712
AB R1R2NCH2R3 (R1-R3 = H, C1-20 (substituted) (cyclo)alkyl, C6-18 (substituted) aryl, C3-22 (substituted) heterocycle, C7-20 (substituted) aralkyl; R1 and R2 may form ring together) are prepared by reduction of R1R2NCOR3 (R1-R3 = same as above) with R42SO4 (R4 = C1-3 alkyl, Ph, benzyl) and metal borohydrides as reducing agents. Acetanilide was treated with NaBH4 and Me2SO4 in THF at 50-55° for 3 h to give 95% N-ethylaniline.

MSTR 1

G1 = 5

G2 = quinolinyl
G4 = pyridyl
Patent location: claim 1
Note: substitution is restricted

L10 ANSWER 60 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:221455 MARPAT
TITLE: Non-birefringent optical resin compositions and optical elements made by using the same
INVENTOR(S): Koike, Yasuhiro; Yoshida, Akihiro; Suzuki, Minoru; Kawai, Hiromasa
PATENT ASSIGNEE(S): Japan
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730119	A1	19970821	WO 1997-JP385	19970214

W: CN, JP, KR, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: JP 1996-50867 19960214
JP 1996-54226 19960216
AB A non-birefringent optical resin composition, excellent in non-birefringence and heat resistance, comprises a polymer containing an N-substituted maleimide as the essential comonomer and a dopant having an orientational birefringence tending to compensate the neg. orientational birefringence of the polymer. and an optical element made by using this composition The resin composition is useful in making optical elements such as lenses and liquid crystal elements. Thus N-Cyclohexylmaleimide 360 g, Me methacrylate 1280 g, tricyclo[5.2.1.02.6]deca-8-yl methacrylate 360 g were polymerized in an aqueous emulsion in the presence of 60 g of dopant biphenyl. The resin composition had birefringence <0.1 and Tg 121°.

MSTR 2A

G1 = pyridyl / quinolinyl
G5 = 575-1 576-2

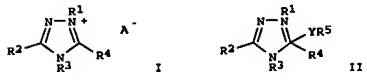
Patent location: claim 4
Note: additional substitution also claimed

L10 ANSWER 60 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L10 ANSWER 61 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:144278 MARPAT
TITLE: Process for the preparation of 1,2,4-triazolium salts and 1,2,4-triazolines
INVENTOR(S): Schneider, Regina; Melder, Johann-Peter; Teles, Joaquim Henrique; Groening, Carsten; Ebel, Klaus
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 749965	A1	19961227	EP 1996-109720	19960618
EP 749965	B1	19991124		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
DE 19522715	A1	19970102	DE 1995-19522715	19950622
ES 2140758	T3	20000301	ES 1996-109720	19960618
JP 09012558	A2	19970114	JP 1996-159900	19960620
US 5840894	A	19981124	US 1996-668140	19960621

PRIORITY APPLN. INFO.: DE 1995-19522715 19950622
OTHER SOURCE(S): CASREACT 126:144278
GI



AB Triazolium salts I and triazolines II [R1, R2, R3, R5 = C-organic group; or R2R3 forms 5- to 8-membered ring; R4 = H, organic group; A = anion equiv; Y = O, S], useful as catalysts for the preparation of acyloins from aldehydes (no data), are prepared by improved methods. In particular, I are prepared by cyclocondensation of amidrazones R3NHC(R2):NNHR1 with carboxylic acids R4CO2H or acid chlorides R4COCl, followed by optional ion exchange. II are then prepared in situ by reaction of formed I with a compound of formula XYR5 [X = H, alkali metal, alkaline earth metal equiv]. For example, PhNHC(Ph):NNHPh (preparation given) was cyclocondensed with HCO2H in Ac2O at 25°, followed by evaporation, treatment with HClO4, and precipitation from H2O, to give 80% I [R1 = R2 = R3 = Ph; R4 = H; A = ClO4-]. Alternatively, after evaporation, the residue was treated with NaOMe in MeOH, to give 74% II [R1 = R2 = R3 = Ph; R4 = H; YR5 = OMe].

MSTR 7

L10 ANSWER 61 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

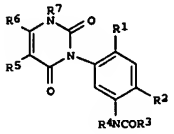
G2—C(O)-NH—G3

G2 = quinolinyl
G3 = pyridyl
Patent location: claim 4

L10 ANSWER 62 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:117988 MARPAT
TITLE: Preparation of acylaminophenyluracile as herbicides.
INVENTOR(S): Andree, Roland; Drewes, Mark Wilhelm; Dollinger, Markus; Santel, Hans-Joachim
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19523640	A1	19970102	DE 1995-19523640	19950629
CA 2225828	AA	19970116	CA 1996-2225828	19960617
WO 9701542	A1	19970116	WO 1996-EP2612	19960617
W: AU, BB, BG, BR, BY, CA, CN, CZ, HU, JP, KR, KZ, LK, MX, NO, NZ, PL, RO, RU, SK, TR, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9663043	A1	19970130	AU 1996-63043	19960617
EP 835247	A1	19980415	EP 1996-922007	19960617
R: CH, DE, ES, FR, GB, IT, LI				
CN 1193319	A	19980916	CN 1996-196296	19960617
BR 9609319	A	19990706	BR 1996-9319	19960617
JP 11508545	T2	19990727	JP 1996-504139	19960617
PRIORITY APPLN. INFO.:			DE 1995-19523640	19950629
			WO 1996-EP2612	19960617

GI



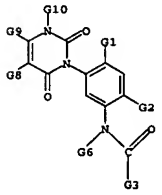
I

AB Title compds. [I; R1 = H, cyano, halo; R2 = cyano, halo; R3 = (substituted) cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R4 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl, COR3; R5 = H, halo, (substituted) alkyl, alkoxy; R6 = (substituted) alkyl; R7 = H, (substituted) alkyl, alkoxy, alkenyl, alkynyl], were prepared Thus, 3,5-dichlorobenzoyl chloride, 1-(4-cyano-2-fluoro-5-

ethylsulfonylaminophenyl)-3,6-dihydro-2,6-dioxo-3-methyl-4-trifluoromethyl-1(2H)-pyrimidine, and Et3N were stirred 24 in MeCN to give 30% 1-[4-cyano-2-fluoro-5-(3,5-dichlorobenzoylamino)phenyl]-3,6-dihydro-2,6-

L10 ANSWER 62 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
dioxo-3-methyl-4-trifluoromethyl-1(2H)-pyrimidine. The latter at 125-2000
g postemergent gave 100% control of Abutilon.

MSTR 1



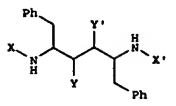
G3 = quinolinyl
G6 = pyridyl
Patent location: claim 1

L10 ANSWER 63 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:142296 MARPAT
TITLE: 3,4-Disubstituted 2,5-diamino-1,6-diphenylhexane isosteres comprising benzamide, sulfonamide and anthranilamide subunits and their use as antiretroviral agents
INVENTOR(S): Randad, Ramnarayan S.; Erickson, John W.
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

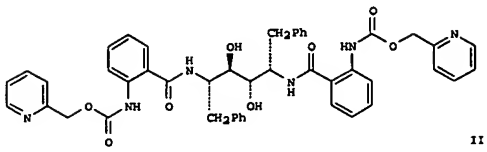
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619437	A1	19960627	WO 1995-US16549	19951219
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5728718	A	19980317	US 1994-359612	19941220
CA 2206787	AA	19960627	CA 1995-2206787	19951219
CA 2206787	C	20051206		
AU 9643786	A1	19960710	AU 1996-43786	19951219
AU 698252	B2	19981029		
EP 801640	A1	19971022	EP 1995-942621	19951219
EP 801640	B1	20030326		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
JP 10504838	T2	19980512	JP 1996-519947	19951219
JP 3152663	B2	20010403		
US 5925780	A	19990720	US 1998-39669	19980316
US 6066656	A	20000523	US 1998-39670	19980316
PRIORITY APPLN. INFO.:			US 1994-359612	19941220
			WO 1995-US16549	19951219

GI

L10 ANSWER 63 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



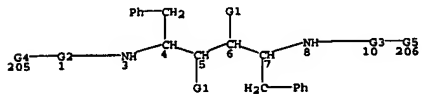
I



II

AB Title compds. I [Y, Y' = (R)-OH, (S)-OH, (R)-amino, (S)-amino, H; X, X' = arylcarbonyl, arylacetyl, arylsulfonyl, (arylmethyl)sulfonyl] were prepared
Thus, Me anthranilate was converted in 3 steps to N-[(2-pyridinylmethoxy)carbonyl]anthranilic acid, which reacted with (2S,3R,4S,5S)-2,5-diamino-1,6-diphenyl-3,4-hexanediol in the presence of 1-hydroxybenzotriazole, ethyldiisopropylamine, and an ammonium salt to give II, which showed a Ki of 0.06 nM against HIV protease.

MSTR 1



G4 = 18

G5 = 17

L10 ANSWER 63 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G6 = 21

G7 = 23

G8 = bond
G9 = quinolinyl
G14 = C(O)
G31 = 113-1 118-20

Patent location: claim 1
Stereochemistry: 4,5,6,7 - R,S

L10 ANSWER 64 OF 72 MARPAT COPYRIGHT 2006 ACS on STN

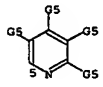
ACCESSION NUMBER: 125:114503 MARPAT
TITLE: Substituted 2-acylamino-pyridines as inhibitors of nitric oxide synthase
INVENTOR(S): Guthikonda, Ravindra K.; Hagmann, William K.; Maccoss, Malcolm; Shah, Shrenik K.; Durette, Philippe L.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9618617	A1	19960620	WO 1995-US16158	19951208
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9645158	A1	19960703	AU 1996-45158	19951208
US 5908842	A	19990601	US 1997-836863	19970520
PRIORITY APPLN. INFO.:			US 1994-353859	19941212
			WO 1995-US16158	19951208

AB Substituted 2-acylaminopyridine compds. and pharmaceutically acceptable salts were prepared which were found useful in the treatment of nitric oxide synthase mediated diseases and disorders.

MSTR 1

G1 = 5



G8 = 21

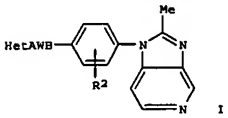
G9 = C(O)
G10 = quinolinyl
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1

L10 ANSWER 64 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L10 ANSWER 65 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 123:169619 MARPAT
TITLE: Preparation of azabenzimidazoles for treatment of asthma, arthritis and related diseases
INVENTOR(S): Marfat, Anthony; Eggler, James F.; Fray, Michael J.; Cooper, Kelvin
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 34 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5322847	A	19940621	US 1992-941108	19921105

PRIORITY APPLN. INFO.: US 1992-941108 19921105
GI

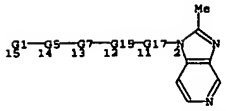


I

AB Title compds. I (Het = (substituted) heterocyclyl; A = CH2O, C.tplbond.C, CH:CH, CMeCH, CH2NH, (CH2)n, O, CONH, CONH, CH2S(O)m wherein n = 1,2; m = 0-2; W = (substituted) heterocyclyl, phenylene, tetralinyl; B = NHCH2, CH2O, etc.; R2 = H, F, Cl, Me, MeO, Ac, O2N, etc.) and a salt thereof, useful for treatment of asthma, arthritis or related diseases (no data), are prepared I are claimed as platelet activating factor inhibitors, leukotriene D4 receptor blockers, and treatment of psoriasis, gastrointestinal distress, myocardial infarction, stroke and shock. To a mixture of 3-(5-fluorbenzothiazol-2-ylmethoxy)aniline and NaBH3CN was added 1-(p-formylphenyl)-2-methyl-1H-imidazo[4,5-c]pyridine to give after workup I (Het = 5-fluorobenzothiazol-2-yl, A = CH2O, W = 1,3-C6H3, B = NHCH2, R2 = H).

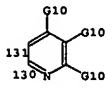
MSTR 1

L10 ANSWER 65 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = quinolinyl (opt. substd. by 1 or more G3)
G5 = 74-15 75-13

G7 = 130-14 131-12

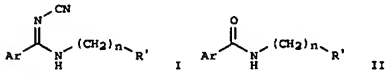


Derivative: and pharmaceutically acceptable acid addition salts
Patent location: claim 1
Note: substitution is restricted

L10 ANSWER 66 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 123:169359 MARPAT
TITLE: Manufacture of N-cyano-N'-substituted-arylcarboxyimidamides
INVENTOR(S): Soga, Hiroshi; Nakajima, Yosha; Munezuka, Juji
PATENT ASSIGNEE(S): Kirin Brewery, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07033729	A2	19950203	JP 1993-184185	19930726

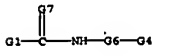
PRIORITY APPLN. INFO.: JP 1993-184185 19930726
OTHER SOURCE(S): CASREACT 123:169359
GI



I II

AB Title compds. I (Ar = Ph, pyridyl, thienyl, quinolyl, isoquinolyl; Ph as Ar may be substituted with halo, OH, carboxyl, amino, alkylamino, dialkylamino, aralkylamino, hydroxyalkyl, acylamino, alkylsulfonamino, bisalkylsulfonylamino, trifluoromethyl, lower alkyl, lower alkoxy, NO2, cyano; R1 = lower alkyl, OH, Ph; Ph as R1 may be substituted with halo, OH, amino, alkylamino, trifluoromethyl, lower alkyl, lower alkoxy, NO2, pyridyl; n = 0-4), useful for potassium ion channel openers, antihypertensives, and vasodilators, are manufactured by treating II with a dehydration condensation agent and then with cyanamide. Thus, 5 g 5-amino-N-[2-(2-chlorophenyl)ethyl]-3-pyridinecarboxamide was dissolved in THF, mixed with pyridine, stirred with SOCl2 under ice cooling, then treated with 22 g cyanamide at room temperature to give 2.4 g N-cyano-N'-[2-(2-chlorophenyl)ethyl]-5-(3-aminopyridine)carboxyimidamide.

MSTR 1



G1 = quinolinyl (opt. substd. by 1 or more G2)
G4 = pyridyl (opt. substd. by 1 or more G5)
G6 = (0-4) CH2
G7 = O
Patent location: claim 1

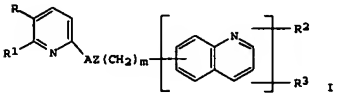
L10 ANSWER 66 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L10 ANSWER 67 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:205225 MARPAT
TITLE: Quinoline-derivative leukotriene antagonists
INVENTOR(S): Daines, Robert A.; Pendrak, Israil
PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414797	A1	19940707	WO 1993-US12434	19931221

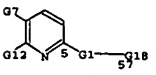
W: JP, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: US 1992-996220 19921223
GI



AB The title compds. [I; A = CH2, CHOH, CO, (un)substituted NH, O, etc.; R = (un)substituted C1-20 aliphatic; R1 = 5-tetrazolyl, CO2H, (un)substituted aminoalkyl, etc.; R2 = H, halogen, CF3, CN, lower alkyl, lower alkyloxy, etc.; R3 = H, halogen, lower alkyl, C1-6 acyl; Z = (un)substituted NH, S(O)q, CO; q = 0-2], useful as leukotriene antagonists (no data), especially for LTB4 (no data), are prepared and I-containing formulation presented. Thus, 7-[1-thia-2-[2-(E-2-carboxyethenyl)-3-[8-(4-methoxyphenyl)octyloxy]-6-pyridyl]ethyl]quinoline Li salt was prepared from 7-hydroxyquinoline in 5 steps.

MSTR 1



G1 = 86-5 87-57

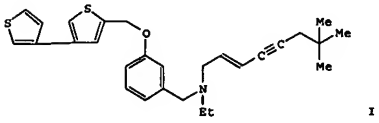
L10 ANSWER 67 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G18 = quinolinyl (opt. substd. by (1-2) G19)
Derivative: or pharmaceutically acceptable salts or N-oxides
Patent location: claim 1

L10 ANSWER 68 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:35005 MARPAT
TITLE: Substituted alkylamine derivatives
INVENTOR(S): Takezawa, Hiroshi; Hayashi, Masahiro; Iwasawa, Yoshikazu; Hosoi, Masaaki; Iida, Yoshiaki; Tsuchiya, Yoshimi; Horie, Masahiro; Kamei, Toshio
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 74 pp. Cont.-in-part of U.S. Ser. No. 533,532, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

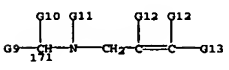
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5234946	A	19930810	US 1991-753611	19910830
ZA 8808792	A	19890830	ZA 1988-8792	19881124
JP 03193746	A2	19910823	JP 1988-296840	19881124
CN 1037141	A	19891115	CN 1988-109274	19881126
ZA 8908464	A	19910130	ZA 1989-8464	19891107

PRIORITY APPLN. INFO.: JP 1987-299584 19871127
JP 1988-96286 19880419
JP 1988-113310 19880510
JP 1988-285381 19881111
US 1988-274972 19881122
US 1990-465209 19900308
US 1990-533532 19900605
GI



AB The title compds. and their uses for the treatment of hypercholesteremia, arteriosclerosis and and hyperlipemia are claimed. Specifically claimed is compound I. The title compds. are squalane epoxidase inhibitors.

MSTR 1



G1 = quinolinyl (opt. substd.)
G19 = 182

L10 ANSWER 68 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G21 = C(O)
G28 = 726-2 724-171

Derivative: or non-toxic salts
Patent location: claim 1

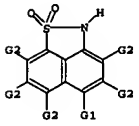
L10 ANSWER 69 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 118:208996 MARPAT
TITLE: 1,8-naphthosultam derivatives and aromatic amines for enzyme immunostaining
INVENTOR(S): Yamazaki, Masahiko
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05002020	A2	19930108	JP 1991-152029	19910624

PRIORITY APPLN. INFO.: JP 1991-152029 19910624

AB Naphthosultam derivs. and aromatic amines are used in enzyme immunostaining to provide safety (low carcinogenic risk), brightness, and high sensitivity for accurate diagnosis. The color image generated with the title compds. is treated with metal ions to become organic solvent-resistant. For diagnosis of cancer of the large intestine, two chromogenic solns. containing a naphthosultam analog and N-ethyl-N-β-methanesulfonamidoethyl-3-methyl-4-aminoaniline (3/2 hydrogensulfate) were tested using rabbit anti-CEA antibody and peroxidase-labeled goat anti-rabbit IgG antibody. The stain was treated with ferric chloride and hexaamminecobalt chloride solns. to generate a long-lasting image.

NSTR 1C



G1 = 52

G3 = quinolinyl
G6 = C(O)
G11 = pyridyl
Patent location: claim 1

L10 ANSWER 69 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L10 ANSWER 70 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 117:90279 MARPAT
TITLE: Preparation of imidazo[4,5-c]pyridines as PAF and LTD4 receptor antagonists
INVENTOR(S): Marfat, Anthony; Eggler, James Frederick; Cooper, Kevin; Fray, Michael Jonathan
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9117163	A1	19911114	WO 1991-US2997	19910501
W: AU, BG, BR, CA, FI, HU, JP, KR, LK, NO, PL, RO, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2080476	AA	19911110	CA 1991-2080476	19910501
AU 9178671	A1	19911127	AU 1991-78671	19910501
AU 642265	B2	19931014		
EP 533695	A1	19930331	EP 1991-909431	19910501
EP 533695	B1	19941005		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
BR 9106433	A	19930504	BR 1991-6433	19910501
HU 62894	A2	19930628	HU 1992-3496	19910501
JP 05505619	T2	19930819	JP 1991-509156	19910501
JP 06078340	B4	19941005		
ES 2061247	T3	19941201	ES 1991-909431	19910501
RO 109450	B1	19950228	RO 1992-1395	19910501
CN 1057839	A	19920115	CN 1991-103959	19910508
ZA 9103497	A	19921230	ZA 1991-3497	19910508
NO 9204290	A	19921106	NO 1992-4290	19921106

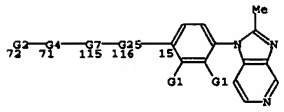
PRIORITY APPLN. INFO.: US 1990-521199 19900509
WO 1991-US2997 19910501

GI For diagram(s), see printed CA Issue.

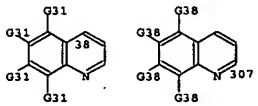
AB Title compds. [I; R = R3AWB; A = CH2O, CH:CH, CH2NH, O, CONH, etc.; B = NHCH2, CH2O, CHMeO, CMe2O, O, CH2CH2, etc.; R2 = H, F, Cl, Me, MeO, MeCO, etc.; R3 = (un)substituted heteroaryl; W = (un)substituted arylenediyl] were prepared as PAF and LTD4 receptor antagonists (no data). Thus, 4-(HOCH2)C6H4NH2 was condensed with 4-chloro-3-nitropyridine and the reduced product refluxed with Ac2O to give I (R2 = H) (II; R = CH2OAc) which was converted in 2 steps to II (R = CHO). The latter was reductively condensed with 3-(R3CH2O)C6H4NH2 (R3 = 5-fluorobenzothiazol-2-yl) (preparation given) to give II (R = benzothiazolylmethoxyanilinomethyl group Q).

NSTR 1B

L10 ANSWER 70 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

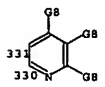


G2 = 38 / 307



G4 = 110-72 111-115 / 111-72 110-115

G7 = 330-71 331-116



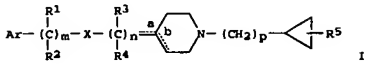
Derivative: and pharmaceutically acceptable acid addition salts
Patent location: claim 1
Note: substitution is restricted

L10 ANSWER 71 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 115:92081 MARPAT
TITLE: Preparation of 1-(cyclopropylmethyl)-4-(aryloxyalkyl)piperidines as antipsychotics
INVENTOR(S): Cain, Gary Avonn; Gilligan, Paul Joseph; Tam, Sang William
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

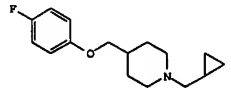
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9103243	A1	19910321	WO 1990-US4850	19900830
W: AU, CA, FI, HU, JP, KR, NO, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
US 5109002	A	19920428	US 1990-570199	19900820
CA 2064219	AA	19910309	CA 1990-2064219	19900830
AU 9063548	A1	19910408	AU 1990-63548	19900830
AU 645502	B2	19940120		
EP 490962	A1	19920624	EP 1990-913589	19900830
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 05505172	T2	19930805	JP 1990-512752	19900830
HU 64746	A2	19940228	HU 1992-772	19900830
ZA 9007177	A	19920527	ZA 1990-7177	19900910
US 5243048	A	19930907	US 1992-831886	19920206
US 5296479	A	19940322	US 1992-831887	19920206
NO 9200901	A	19920507	NO 1992-901	19920306
US 5266572	A	19931130	US 1992-900774	19920618

PRIORITY APPLN. INFO.: US 1989-404813 19890908
US 1990-570199 19900820
WO 1990-US4850 19900830
US 1992-831886 19920206

GI



I



II

AB Ar(LAr1)q(X)k(Y)pQ [I; k, p, q = 0,1; provided that when k = 1, p = 1; Ar = (un)substituted furyl, thienyl 1,1-dioxide, pyrryl, pyridyl, benzofuryl, Ph, etc.; L = (CH2)r, O, CH2O, CH2S, OCH2, CONH, NHCO, CO, CH2NH; r = 1-4; Ar1 = (un)substituted phenylene, thienylene, or pyridylene; X = O, S, CO; Y = C1-10 alkylene or alkenylene; Q = Q1, (CO)nN(OR1)(CO)mR2; m, n = 0, 1; when n = 1, m = 0 and R1,R2 = H, C1-4 alkyl or R2 = C5-7 cycloalkyl; when n = 0, m = 1, R1 = H, C1-4 alkyl, any one of Ar, alkanoyl, or (un)substituted CONH2 and R2 = H, C1-4 alkyl, NH2, C1-4 mono- or dialkylamino, anilino, etc.; Z = C2-5 alkylene optionally interrupted by a hetero atom], useful for treatment of arthritis, e.g., rheumatoid arthritis, rheumatoid spondylitis, osteoarthritis, gouty arthritis, or reactive arthritis, are prepared Thus, a solution of HSCH2CO2Me in THF was added dropwise to 1-(1-naphthyl)-2-nitroethene and Et3N in THF and after stirring 30 min at room temperature, the mixture was evaporated in vacuo, dissolved in saturated aqueous NH4Cl in 95 % EtOH, and then stirred 30 min with Zn powder to give 5,6-dihydro-1-hydroxy-5-(1-naphthyl)-1,4-thiazine-3(2H,4H)-one. A total of 88 I were prepared N-(3-Phenoxycinnamyl)acetohydroxamic acid (II) reduced the ovalbumin-induced swelling (arthritis) in the right knee joint of rabbits immunized with ovalbumin in Freund's complete adjuvant and II in combination with indomethacin, up to 51 %. Tablets and an injection solution containing II were formulated.

L10 ANSWER 71 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB The title compds [I; X = O, S, SO, SO2, NR6, CR7R8, CO, CHOH; R1, R3, R7 = H, HO, C1-5 alkyl, halo, CO2H, C2-6 alkoxycarbonyl, Ar1, etc.; R2, R4, R8 = H, C1-5 alkyl, C1-5 alkoxy, C2-6 alkoxycarbonyl, cyano, Ar1, with a proviso; R5 = H, HO, alk(en)yl, halo; R6 = H, C1-5 alkyl, Ar1; Ar, Ar1 = naphthyl, pyridyl, pyrimidinyl, indolyl, (un)substituted Ph, etc.; a = b = double bond; m, n, p = 0-3] or their pharmaceutically acceptable salts, useful as antipsychotic psychotropics and selective σ-antagonists free from movement disorder side-effects, were prepared I can be used as antidotes for psychotomimetics, e.g., phencyclidine (PCP). Reduction of 35 g 1-(cyclopropylcarbonyl)-4-ethoxycarbonylpiperidine by LiBH4 and Me3B over 48 h at room temperature in THF gave 18.2 g 1-(cyclopropylcarbonyl)-4-(hydroxymethyl)piperidine which (6.0 g) was converted to its mesylate ester (8.5 g). This (983 mg) was added dropwise to freshly prepared 4-FC6H4ONa in THF and the mixture refluxed 22 h to give 617 mg of the coresponding ether, refluxing of which (316 mg) with LiAlH4 in THF gave 266 mg title compound (II). The latter in vitro had a selective binding affinity (comparable to haloperidol, qual. evaluation) for σ-receptors of guinea pig brain membranes, and no affinity to dopamine D2 receptors. In mice II inhibited (qual. evaluation) the isolation-induced aggressive behavior.

MSTR 3

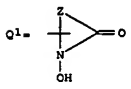
G2 = 17

G6 = pyridyl (opt. substd.)
G9 = quinolinyl (opt. substd.)
G11 = C(O)
Patent location: claim 71
Note: substitution is restricted

L10 ANSWER 72 OF 72 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 113:115323 MARPAT
TITLE: Preparation of nonsteroidal antiinflammatory drugs
INVENTOR(S): Jackson, William Paul; Pettipher, Eric Roy
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9001929	A1	19900308	WO 1989-GB992	19890825
W: JP, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				

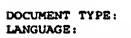
PRIORITY APPLN. INFO.: GB 1988-20185 19880825
GI



AB Ar(LAr1)q(X)k(Y)pQ [I; k, p, q = 0,1; provided that when k = 1, p = 1; Ar = (un)substituted furyl, thienyl 1,1-dioxide, pyrryl, pyridyl, benzofuryl, Ph, etc.; L = (CH2)r, O, CH2O, CH2S, OCH2, CONH, NHCO, CO, CH2NH; r = 1-4; Ar1 = (un)substituted phenylene, thienylene, or pyridylene; X = O, S, CO; Y = C1-10 alkylene or alkenylene; Q = Q1, (CO)nN(OR1)(CO)mR2; m, n = 0, 1; when n = 1, m = 0 and R1,R2 = H, C1-4 alkyl or R2 = C5-7 cycloalkyl; when n = 0, m = 1, R1 = H, C1-4 alkyl, any one of Ar, alkanoyl, or (un)substituted CONH2 and R2 = H, C1-4 alkyl, NH2, C1-4 mono- or dialkylamino, anilino, etc.; Z = C2-5 alkylene optionally interrupted by a hetero atom], useful for treatment of arthritis, e.g., rheumatoid arthritis, rheumatoid spondylitis, osteoarthritis, gouty arthritis, or reactive arthritis, are prepared Thus, a solution of HSCH2CO2Me in THF was added dropwise to 1-(1-naphthyl)-2-nitroethene and Et3N in THF and after stirring 30 min at room temperature, the mixture was evaporated in vacuo, dissolved in saturated aqueous NH4Cl in 95 % EtOH, and then stirred 30 min with Zn powder to give 5,6-dihydro-1-hydroxy-5-(1-naphthyl)-1,4-thiazine-3(2H,4H)-one. A total of 88 I were prepared N-(3-Phenoxycinnamyl)acetohydroxamic acid (II) reduced the ovalbumin-induced swelling (arthritis) in the right knee joint of rabbits immunized with ovalbumin in Freund's complete adjuvant and II in combination with indomethacin, up to 51 %. Tablets and an injection solution containing II were formulated.

L10 ANSWER 72 OF 72 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1G



G1 = quinolinyl
G13 = 63-77 64-50 / 64-77 63-50

G14 = 71-76 70-2 / 71-76 75-2 / 71-76 74-2 /
71-76 73-2 / 70-76 71-2 / 70-76 75-2 / 70-76 74-2 /
70-76 73-2 / 75-76 71-2 / 75-76 70-2

Generic group attributes: 32 <containing 1 or more N, 1-6 C, attached through 1 or more N, non-aromatic, saturated, 4- to 7-membered monocyclic ring>
Derivative: or pharmaceutically acceptable salt
Patent location: claim 1
Note: substitution is restricted

10/536,475

```
=> d his

     (FILE 'HOME' ENTERED AT 10:29:06 ON 09 MAR 2006)

     FILE 'REGISTRY' ENTERED AT 10:29:15 ON 09 MAR 2006
L1               STRUCTURE UPLOADED
L2               STRUCTURE UPLOADED
L3            19 S L1 SAM
L4             2 S L2 SAM
L5           249 S L1 FULL
L6            12 S L2 FULL

     FILE 'CA' ENTERED AT 10:30:18 ON 09 MAR 2006
L7             8 S L5 OR L6

     FILE 'MARPAT' ENTERED AT 10:30:38 ON 09 MAR 2006
L8            80 S L1 FULL
L9            88 S L2 FULL
L10           72 S L8 AND L9

=>

---Logging off of STN---


=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 10:34:31 ON 09 MAR 2006
```